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* * * * * * * * *
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                 EXTEND option available in structure searching
NEWS 4
         May 12
                 Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5
         May 27
                 New UPM (Update Code Maximum) field for more efficient patent
                 SDIs in CAplus
NEWS 6
         May 27
                 CAplus super roles and document types searchable in REGISTRY
NEWS
         Jun 28
                 Additional enzyme-catalyzed reactions added to CASREACT
NEWS 8 Jun 28
                 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
                 and WATER from CSA now available on STN(R)
                 BEILSTEIN enhanced with new display and select options,
NEWS 9
         Jul 12
                 resulting in a closer connection to BABS
NEWS 10
         Jul 30
                 BEILSTEIN on STN workshop to be held August 24 in conjunction
                 with the 228th ACS National Meeting
                 IFIPAT/IFIUDB/IFICDB reloaded with new search and display
NEWS 11
        AUG 02
                 fields
NEWS 12
        AUG 02 CAplus and CA patent records enhanced with European and Japan
                 Patent Office Classifications
NEWS 13
        AUG 02
                 STN User Update to be held August 22 in conjunction with the
                 228th ACS National Meeting
                 The Analysis Edition of STN Express with Discover!
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                 Pricing for the Save Answers for SciFinder Wizard within
                 STN Express with Discover! will change September 1, 2004
NEWS EXPRESS JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9 DICTIONARY FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

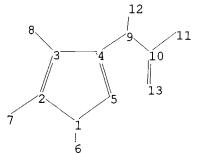
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Program Files\Stnexp\Queries\10049288.str



chain nodes : 6 8 9 10 12 13 ring nodes : 1 2 3 4 5 ring/chain nodes : 7 11 chain bonds : 1-6 2-7 3-8 4 - 99-10 9-12 10-11 10-13 ring bonds : 1-2 1-5 2-3 3-4 4-5 exact/norm bonds : 1-2 1-5 2-3 3-4 4-5 4-9 9-10 10-11 10-13 exact bonds : 1-6 2-7 3-8 9-12

Match level :

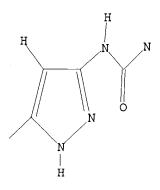
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:45:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 95 TO ITERATE

100.0% PROCESSED 95 ITERATIONS

19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1316 TO 2484

PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 10:45:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1800 TO ITERATE

100.0% PROCESSED 1800 ITERATIONS

371 ANSWERS

SEARCH TIME: 00.00.01

L3 371 SEA SSS FUL L1

=> s 13 and caplus/lc

38032970 CAPLUS/LC

L4 359 L3 AND CAPLUS/LC

=> s 13 not 14

L5 12 L3 NOT L4

=> d 15 1-12

Page 5 08/20/2004

ANSWER 1 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 705269-97-2 REGISTRY
Benzamide, 4-fluoro-N-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)
3D CONCORD
C12 H11 F N4 02
Chemical Library
STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 RN CN

ANSWER 3 OF 12 REGISTRY COFYRIGHT 2004 ACS on STN 497161-16-7 REGISTRY
Benzamide, N-[[(5-methyl)-1H-pyrazol-3-y1)]amino]carbonyl]-3-{1,1,2,2-tetrafluoroethoxy}- (SCI) (CA INDEX NAME)
BO CONCORD
C14 H12 F4 N4 03
Chemical Library
STN Files: CHEMCATS

ANSWER 2 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN
705269-94-9 REGISTRY
Renzamide, N-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]- (9CI) (CA INDEX
NAME)
3D CONCORD
C12 H12 N4 O2
Chemical Library
STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 4 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 497161-15-6 REGISTRY
Benzamide, 2-fluoro-N-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]- (9CI)(CA INDEX NAME)
3D CONCORD
C12 H11 F N4 O2
Chemical Library
STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

^{**}PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

Page 6 08/20/2004

ANSWER 5 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 497161-14-5 REGISTRY
Benzamide, 2,4-difloren-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]-(9CI) (CA INDEX NAME)
B) CONCORD
C12 H10 F2 N4 O2
Chemical Library
STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 6 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 497154-63-9 REGISTRY Eenzamide, N-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]-4-trifluoromethyl)- (9CI) (CA INDEX NAME) C13 H11 F3 N4 02 Chemical Library STN Files: CHEMCATS

FS MF SR LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 7 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 497154-62-8 REGISTRY Enzamide, 3-chloro-N-[[(5-methyl-1H-pyrazol-3-y1)amino]carbonyl]- (9CI) (CA INDEX NAME)
DD CONCORD
C12 Hill C1 N4 O2
Chemical Library
STN Files: CHEMCATS

FS MF SR LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 8 OF 12 REGISTRY COPYRIGHT 2004 ACS ON STN 392708-05-3 REGISTRY Urea, N. N'-1,6-hexanediylbip[N'-(5-phanyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME) 3D CONCORD C26 H30 NB 02 Chemical Library STN Files: CHEMCAIS L5 RN CN

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

Page 7 08/20/2004

ANSWER 9 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 366492-53-7 REGISTRY Urea, N-[1-methyl-1-[3-(1-methylethenyl)phenyl]ethyl]-N'-(5-methyl-1H-pyrazol-3-yl)- (SCI) (CA INDEX NAME) 3D COMCORD C17 H22 N4 O Chemical Library SIN Files: CHEMCAIS L5 RN CN

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 RN CN

ANSWER 11 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 256525-82-3 REGISTRY Urea, N-(4-chlorophenyl)-N'-[5-[4-phenyl-5-(trifluoromethyl)-2-thienyl]-1H-pyrazol-3-yl]- (SCI) (CA INDEX NAME) 3D CONCORD C21 H14 C1 F5 N4 O S CAS Client Services

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 10 of 12 REGISTRY COPYRIGHT 2004 ACS on STN 256529-50-7 REGISTRY Urea, N-ethyl-N'-[5-[4-phenyl-5-(trifluoromethyl)-2-thienyl]-1H-pyrazol-3-yl]- (SCI) (CA INDEX NAME) 3D CONCORD CIT HIS FS N4 O S CAS Client Services

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 12 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 229001-88-1 REGISTRY Benzamide, 3-[4-[[[5-(1,1-dimethylethyl]-1H-pyrazol-3-yl]amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME) OCCOMEN CORON CORON

FS MF CI SR

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT **

=> fil caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 181.09 181.30

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:46:07 ON 20 AUG 2004
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FILE 'REGISTRY' ENTERED AT 10:45:22 ON 20 AUG 2004

L1 STRUCTURE UPLOADED

L2 19 S L1

L3 371 S L1 FULL

L4 359 S L3 AND CAPLUS/LC

L5 12 S L3 NOT L4

FILE 'CAPLUS' ENTERED AT 10:46:07 ON 20 AUG 2004

=> s 14

L6 38 L4

=> d ibib abs hitstr 1-38

L6 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2004:369129 CAPLUS

DOCUMENT NUMBER: 141:81627

TITLE:

AUTHOR(S): CORPORATE SOURCE:

141:81627
SDOCKER: A Method Utilizing Existing X-ray Structures
To Improve Docking Accuracy
Wu, Guchengr Vieth, Michal
Lilly Research Labs, Lilly Corporate Center, Eli Lilly
and Company, Indianapolis, IN, 46285, USA
Journal of Medicinal Chemistry (2004), 47(12),
3142-3148
CODEN: JMCMAR, ISSN: 0022-2623
American Chemical Society
Journal SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

MINISTER: American Chemical Society

MOMENT TYPE: Journal

GUAGE: English

This paper introduces a new strategy for structure-based drug design that
combines high-quality docking with data from existing ligand-protein
cocrystal x-ray structures. The main goal of SDOCKER, a new algorithm
that implements this strategy, is docking accuracy improvement. In this
new paradigm, simulated annealing mol. dynamics is used for conformational
sampling and optimization and an addnl. similarity force is applied on the
basis of the positions of ligands from x-ray data that focus the sampling
on relevant regions of the active site. Because the structural
information from both the ligand and protein active site is included, this
approach is more effective in finding the optimal conformation for a
ligand-protein complex than the classical docking or similarity overlays.
Interestingly, it was found that a 3D similarity-only approach gives
comparable docking accuracy to the regular force field approach used in
classical docking, given the final structures are minimized in the
presence of the protein. The combination of both, as implemented in
SDOCKER, is shown here to be more accurate. A significant improvement in
docking accuracy has been observed for three different test systems.
Specifically an improvement of 10%, 17.5%, and 10% is seen for 37 HN-1
protease, 32 thrombin, and 23 CDK2 ligands, resp., compared to docking
using the force field alone. In addition, SDOCKER's accuracy performance
dependence on the similarity template is discussed. The strategy of
utilizing existing ligand x-ray information should prove effective in
light of the multitude of structures available from structural genomics
approaches.

160066-25-3
RL: PAC (Pharmacological activity): PRF (Properties); THU (Therapeutic
use); EDOL (Bolonical study): USES (Mess)

ΙT

360068-28-3

RI. PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SDOCKER is method utilizing existing x-ray structures to improve docking accuracy)
360068-25-3 CAPLUS
Urea, N-[5-(2-pyrrolidinyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 of 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2004:220307 CAPLUS DOCUMENT NUMBER: 140:270555 TITLE: Preparation 140:270555
Preparation of diarylurea derivatives and their use as chloride channel blockers
Dahl, Bjarne H.; Christophersen, Palle; Engsig,
Michael Thyrring, Xaradal, Morten Asser; Foged, Niels
Taekker; Uensen, Flemming Reissig
Neurosearch A/s, Den.
PCT Int. Appl., 65 pp.
CODEN: PIXXID

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT :	INFOR	MATI	ON:															
								ATE APPLICATION N										
	2004				32											0030		
					A2 20040 A3 20040						20050504							
							AU,			BB.	BG.	BR.	BY.	BZ.	CA.	CH.	CN.	
							DK,											
							IN,											
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,	
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	
				MD,														
	RW:						MZ,											
							EE,											
							SK,		ΒF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GΩ,	
					ΝE,	SN,	TD,	TG										
PRIORITY	APP	LN.	INFO	.:											A 21			
										DK 2	002-	1310		- 2	A 21	0020	905	
OTHER SO	OURCE	(S):			MARI	PAT	140:	2705	55									

ANHCONRID [A = (un) substituted cyclohexyl, Ph, pyridyl, thienyl, naphthyl, indolyl, pyrazolyl, oxopyrrolidinyl, Rl = H, D = (un) substituted Ph, cyclohexyl, 2-pyridinyl, CHR2COZH, R2 = (un) substituted Ph, R1D = (HCOZH)CHZCHR3CH2; R3 = H, OH, Were prepared for use as chloride channel blockers in the treatment of bone metabolic diseases, diseases responsive to modulation of the mast cell or basophil activity, diseases responsive to inhibition of angiogenesis, or sickle cell anemia (no data). Thus, 4-BrC6H4Me was converted to 4-MecCH4B(OH)2, which was oxidized to 4-McCCCGH4B(OH)2 and amidated to 4-Me2NCOCGH4B(OH)2. Coupling with 5,2-Br(H2N)CGH3CM gave 4,3-H2N(NC)CGH3CGHACONMe2-4 which was cyclized to the tetrazole and treated with 3,5-(F3C)2CGH3NCO to give the urea I.

1

L6 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); FREF (Preparation); VSS (Uses)
(prepn. of diarylurea derivs. and their use as chloride channel
blockers)
674300-18-6 CAPLUS
Benzoic acid, 4-chloro-2-[[[(5-phenyl-1H-pyrazol-3yl)amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

Page 10 08/20/2004

L6 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:182368 CAPLUS
100:229401
TITLE: Three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands
Come, Jon Hr. Becker, Frank; Kley, Nikolai A.;
Reichel, Christoph
USA

V.S. Pat. Appl. Publ., 238 pp., Cont.-in-part of U.S. Ser. No. 91,177.
COEDEN: USXXXCO

DOCUMENT TYPE: Patent
LANGUAGE: Rodish

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004043388 US 2003165873 PRIORITY APPLN. INFO.;	A1 A1	20040304 20030904	US 2002-234985 US 2002-91177 US 2001-272932P P US 2001-278233P P US 2001-329437P P US 2002-91177 A	20020903 20020304 20010302 20010323 20011015 2 20020304

The invention provides compns. and methods for isolating ligand-binding polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. Preparation of compds., e.g a methotrexate moiety

Led by a polyethylene gycol moisty to dexamethasone, is described.

322689-01-00, conjugates 322689-07-60, conjugates
666938-82-00, conjugates
RL: RUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands)

322689-01-0 CAPLUS
Urea, N-[5-[[[(25)-5-chloro-2,3-dihydro-1H-inden-2-y]]amino]methyl]-1H-pyrazol-3-yl]-N-[(SbS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L6 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-07-6 CAPLUS
Urea, N-[5-[[[(25)-5-chloro-2,3-dihydro-1H-inden-2-y1]amino]methyl]-1Hpyrazol-3-y1]-N'-[(9hk)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1a]isoindol-9-y1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $666838-82-0 \quad CAPLUS \\ Urea, \ N-[5-[[(1.1-dimethylethyl) amino] methyl]-1H-pyrazol-3-yl]-N'-[(9bS)-2.3,5,9b-terahydro-5-oxo-1H-pyrrolo{2,1-a}isoindol-9-yl]- (9CI) (CA INDEX NAME)$

Absolute stereochemistry.

L6 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TLE:
2004:80448 CAPLUS
140:122817
NPYS antagonist-anticbesity agent combination for the prevention and treatment of diabetes, obesity, and obesity-related disorders
Macneil, Douglas J., Mcintyre, James H., Van Der Ploeg, Leonardus H. T., I shihara, Akane
Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.
PCT Int. Appl., 134 pp.
CODENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORPMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM, COUNT: PATENT INFORMATION:

	ENT				KIND DATE				APPL			ATE					
wo	2004	0090	15				2004					 US22				0030	
WO	2004	0090	15		A3		2004	0304									
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR.	BY.	BZ.	CA.	CH.	CN
							DK,										
		GM,	HR,	HU,	ID,	IL,	IN,	15,	JP,	KE,	KG.	KR,	KZ,	LC,	LK.	LR,	LS
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX.	MZ,	NI,	NO.	NZ,	OM,	PG
							SC,										
							UZ,										
				RU,								•	- •			. ,	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL.	SZ,	TZ.	UG.	ZM.	ZW.	AT.	BE.	BG.
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU.	IE,	IT,	LU,	MC.
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ITY	APP	LN.	INFO	. :					1	JS 20	002-	3966	03P	1	P 20	0020	718
									1	JS 20	002-	1179	qpp	1	P 26	0021	011

OTHER SOURCE(s): MARFAT 140:122817

AB The invention discloses compns. comprising a NFYS antagonist and an antichesity agent, useful for the treatment and prevention of diabetes, obesity, and obesity-related disorders. The invention also discloses methods of treatment go preventing obesity and obesity-related disorders in a subject in need thereof by administering a composition of the invention.

invention further discloses pharmaceutical compns., medicaments, and kits useful in carrying out the methods.
32832-23-1 328232-25-3 478014-44-7
RL: PAC (Pharmacological activity) THU (Therapeutic use), BIOL (Biological study) USES (Uses)
(NPYS antagonist-antiobesity agent combination for the prevention and treatment of diabetes, obesity, and obesity-related disorders)
328232-23-1 CAPUS
Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
3-oxo-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

328232-25-3 CAPLUS
Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
3-oxo-N-[5-(3-quinolinyl)-IH-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

478014-44-7 CAPLUS Spire(isobenzefuran-1(3H),4'-piperidine]-1'-carboxamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]-3-oxo- (9CI) (CA INDEX NAME)

ANSWER 5 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN SSION NUMBER: 2004:41269 CAPLUS
MENT NUMBER: 140:77038 ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

Preparation of 3-[heteroary]methoxy]pyridines and their analogues as p38 map kinase inhibitors Murray, Christopher William; Hartshorn, Michael John, Frederickson, Martyn; Congreve, Miles Stuart; Padova, Alessandro; Woodhead, Steven John; Gill, Adrian Liam; Woodhead, Andrew James Astex Technology Limited, UK PCT Int. Appl., 134 pp. CODEN: PIXXD2 Patent English INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

WO 2004004720 A1 20040115 WO 2003-GB2864 20030703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EG, EE, ES, FI, GB, GD, GE, GH, GM, RH, HU, ID, IL, IN, IS, JF, KE, KG, KF, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TM, TA, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, FR, GB, GR, HU, IE, IT, LU, MC, GW, ML, PT, RO, SE, SI, SK, TR, FR, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2002-15383 US 2002-393121P GB 2002-26149 20020703 20020703 20021108 OTHER SOURCE(S): MARPAT 140:77038

L6 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

ANSWER 5 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continuing kinase inhibitors for treatment of arthritis) 642084-52-4 CAPLUS Urea, N-[4-chloro-3-[(pyrazinyloxy)methyl]phenyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

642085-03-8 CAPLUS
Urea, N-[4-chloro-3-[(3-pyridinyloxy)methyl]phenyl]-N'-[5-(1,1-dimethyl+thyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

642085-44-7 CAPLUS
Urea, N-[4-fluoro-3-[(pyrazinyloxy)methyl]phenyl]-N'-(5-phenyl-1H-pyrazol-3-yl)-(921) (CA INDEX NAME)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

Page 12 08/20/2004

16 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2003:913146 CAPLUS

DOCUMENT NUMBER: 139:395928

TITLE:

INVENTOR(S):

139:395928
Preparation of pyrazolylcarboxamides with donor-acceptor-donor structure for the treatment, diagnosis and prophylaxis of diseases in which abnormal protein structures occur Schrader, Thomas; Riesner, Detlevs Rzepecki, Petra; Nagel-Steger, Luityard; Wahner, Mark; Kirsten, Christian; Molt, Oliver; Zadmard, Reza; Aschermann, Karia

Christian; Molt, Cliver; Zadmard, Reza; Aschermann, Katja Transmit Gesellschaft fuer Technologietransfer mbH, Germany PCT Int. Appl., 79 pp. CODEN: PIXXD2 Patent German 1

SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.						KIND DATE				APPL	DATE							
		2002					-	2002	1120					20030509				
					A1 20031120				WU 2	003-	DEID	UU		20030509				
		W:	ΑE,	AG,	AL,	AU,	BA,	BB,	BR,	BZ,	CA,	CN,	CO,	CR,	CU,	DM,	DZ,	EC,
			GD,	GE,	HR,	ID,	IL,	IN,	IS,	JP,	ΚP,	KR,	LC,	LK,	LR,	LT,	LV,	MA,
			MG,	MK,	MN,	MX,	NO,	NZ,	OM,	PH,	PL,	SC,	SD,	SG,	TN,	TT,	UA,	US,
			υz,	VC,	VN,	ΥU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM
		RW:	GH,	GM,	KE,	L5,	MW,	MZ,	SD,	SL,	SZ,	TZ,	υG,	ZM,	ZW,	AT,	BE,	BG,
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,
								SK,		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
			G₩,	ML,	MR,	ΝE,	SN,	TD,	TG									
	DΕ	1022	1052			A1		2003	1204		DE 2	002-	1022	1052		2	020	510
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Pyraxolylcarboxamides with a donor-acceptor-donor structure with donor-acceptor distances of 3.5-4.0 Å and acceptor-donor distances of 2.6-2.9 Å and which inhibit the formation of β -amyloid plaques and dissolve those already formed, were prepared for use in treating diseases in which abnormal protein folding occurs, such as Alzheimer's and prion diseases. These compds. identify peptides and proteins having a β -pleated sheet structure, form stable complexes therewith, and prevent the aggregation thereof into β -amyloid plaques. In addition, they decompose already existing β -amyloid plaques. Thus, 3-amino-1-tert-butoxycarboxyl-5-methyl-1H-pyrazole was treated with mc(CLCO) 2C6H4 and deblocked to give the diamide I which inhibited β -amyloid plaque formation by $\lambda\beta\{1-42\}$ at 10mM.

L6 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2003:732768 CAPLUS

140:128610

140:128610
Aminopyrazole oligomers for β-sheet stabilization of peptides
Rzepecki, P.; Wehner, M., Molt, O.; Zadmard, R.;
Harms, K.; Schrader, T.
Philipps-Universitaet Marburg, Department of Chemistry, Marburg, 35032, Germany
Synthesis (2003), (12), 1815-1826
CODEN: SYNTEF; ISSN: 0039-7881
Georg Thieme Verlag
Journal
Enolish DOCUMENT NUMBER: TITLE:

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

A general concept for the stabilization of β-sheets by designed artificial ligands is introduced. The ligands have two key features: they contain acylated 3-aminopyrazoles with a DAD hydrogen bond donor and acceptor pattern, and they were synthesized as oligomers in order to multiply their hydrogen bond interactions with peptides in the β-sheet conformation. Dimeric aminopyrazoles, e.g. I, were accessible by reaction of the NI-Boc 3-amino-5-methylpyrazole with several acid dichlorides followed by a standard deprotection procedure with trifluoroacetic acid. For the oligomers, NI-PMB protection of new pyrazole amino acids followed by an iterative extension protocol with peptide coupling using PyClop or Mukaiyama's reagent led to the target compds. All protecting groups were subsequently removed in a final deprotection step with warm trifluoroacetic acid. Two dimeric key compds. I and II were examined by NMR at various temps., in NOESY expts. as well as by X-ray crystallog, in order to elucidate their conformational preference in solution and the solid state. The emerging picture was the same for all methods: both ligands adopt a flat conformation, Aggregation assays with the Prion protein and the Alzheimer's peptides Aβ (1-40) show highly promising results for some of the dimeric and oligomeric ligands at very low concess.

RI: SPN (Synthetic preparation): PREP (Preparation) (preparation of aminoryment).

REL SPN (Synthetic preparation); PREP (Preparation) (preparation of aminopyrazole dimers and oligomers for β-sheet stabilization of peptides and their aggregation assays) 625385-93-5 CAPLUS

Urea, N,N'-bis(5-methyl-1H-pyrazol-3-yl) - (9CI) (CA INDEX NAME)

ANSWER 6 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
523383-93-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PERF (Freparation); USES (Uses)
(preparation of pyrazolylcarboxamides with donor-acceptor-donor structure for the treatment, diagnosis and prophylaxis of diseases in which abnormal protein structures occur)
625385-93-5 CAPLUS
Urea, N,N'-bis(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR HORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 13 08/20/2004

L6 ANSWER 8 OF 38
ACCESSION NUMBER:
DOCUMENT NUMBER:
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11717 FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2003074285 A1 20030912 W0 2003-EP1900 20030225

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CK, CN, CG, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, MU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SI, JT, JT, MT, NT, RT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, CM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, EF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRICHITY APPIN. INFO:

EP 2002-405170 A 20020306

CTHER SOURCE(S):

MARPAT 139:237797

AB The present invention relates to a composition for heat sensitive recording material containing (a) a color former compound, (b) a developer, which is different from the stabilizer used as component (c), (c) a stabilizer, selected from the stabilizer used as component (c), (c) a stabilizer, selected from the stabilizer used as component (c), (c) a stabilizer, selected from the stabilizer used as component (c), (c) a stabilizer, selected from the stabilizer used as component (c), (c) a stabilizer, believed the stabilizer is less than 5t, based on the total weight of the composition The present invention relates to a heat sensitive recording material comprising this composition and the use of this composition as heat-sensitive morphism and the use of this composition as heat-sensitive coording material containing.

RIN 144849-11-6 CAPLUS

CN Benzenseulfonamide, 4-methyl-N-[[(5-methyl-lH-pyrazol-3-yl) amino] carbonyl]-(SCI) (CA INDEX NAME) APPLICATION NO. PATENT NO. KIND

ANSWER 9 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN SSION NUMBER: 2003:656752 CAPLUS MENT NUMBER: 139:197392 ACCESSION NUMBER: DOCUMENT NUMBER: 139:197392
Preparation of N-carbamoyl nitrogen-containing fused ring compounds as mitochondrial benzodiazepine receptor (MRR) antagonists
Seko, Takuyar Katsumata, Seishir Kato, Masashir Manako, Jun-ichiror Ohmoto, Kazuyuki Ono Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 222 pp.
CODEN: FIXKD2 TITLE: INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent Japanese 1 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE ----A1 20030821 WO 2003068753 2003068753 A1 20030821 W0 2003-JF1481 20030213
W: AR, AG, AL, AM, AT, AL, AZ, RA, RB, BG, BR, RY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, RE, ES, FI, GB, GD, GR, GH, GH, HR, HU, ID, IL, IN, IS, JF, KE, KG, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, KM, ZN, NO, NZ, OM, PH, PJ, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, PY, KG, KZ, MD, RU, TJ, TM, KE, LS, HW, HZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, RE, BG, CH, CY, CZ, DE, DK, RE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, ML, MR, NE, SN, TD, TG WO 2003-JP1481 20030213 PRIORITY APPLN.
OTHER SOURCE(S):
GI

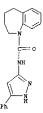
MARPAT 139:197392

The title compds. (I) [wherein the ring A = C5-8 monocyclic carbocyclic ring or 5- to 8-membered monocyclic heterocyclic ring containing 1 or 2 N, 1 or 2 O and/or one S atom; X = CH2, O, S, SO, SO2; L1, L2 = a single bond, C1-4 alkylene, C2-4 alkenylene, provided that a sum total of C atoms in L1 and L2 is 3 or 4; R1, R2 = each (un)substituted C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, ring B, O85, RNER7, CONB, O2CR8, O2CR8, O2CR8GR7, C2CR8GR, CONRGR7, SR9, SOR8, SO2R8, SO2RR6R7, halo, CO2H, cyano, NO2, oxo, etc.; the ring B = (un)substituted C3-10 monocyclic or dicyclic carbocyclic ring or monocyclic or dicyclic heterocyclic ring containing 1 or 2 N, 1 or 2 O and/or one S atom; R5 = sach (un)substituted C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, stc., R6, R7 = H, -D1-D2 (wherein D1 = a single bond, CO, CO2, or SO2; D2 = each (un)substituted C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, ring B; R3 = H, ring B, each (un)substituted C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 = H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 = H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 = H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 = H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 = H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 = H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 = H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 = H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkenyl; or C3-8 alkynyl; R4 = H, C1-8 alkyl, C2-8 alkenyl; or C3-8 alkynyl; R4 = H, C1-8 alkyl, C2-8 alkenyl; or C3-8 alkenyl; or C3-8 alkynyl; R4 = H, C1-8 alkyl, C3-8 alkenyl; or C3-8

L6 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2004 ACS ON SIM (Continued)
REFERENCE COUNT: 5 THERE ARE S CITED REFERENCES AVAILABLE FOR THIS
ROCORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) optionally 1-3 N, one 0, and/or one S atoms; m, n = an integer of 0-4; W = 0, S] were preped. Also disclosed are mitochnodrial henzodiazepine receptor (MER) antagonists comprising these compds. I and preventives and/or remedies for diseases caused by stress which comprise the above compds. I as the active ingredient. Because of having an MBR antagonistic activity and inhibiting the prodn. of neurosteroids, the compds. I are useful as preventives and/or remedies for diseases caused by stress. The diseases induced, worsened, or exacerbated by stress include digestive organ disease, circulatory system disease, endocrine-metabolic disease, respiratory disease, nerve-muscular disease, with disease, surgical disease, orthopedic disease, urinary organ-reproductive disease, synecol. disease, (synopathy), eye disease, otolaryngol. (ear, nose and throat) disease, cantal-oral surgical disease, and cancer. The digestive organ disease include functional indigestion, stomach-duodenal ulcer, ulcerative colitis, irritable bowel syndrome, biliary tract dyskinesia, esophagism, gastroatonia (atomy of stomach), chronic hepatitis, and chronic pancreatitis. Thus, 250 mg Ph isocyanate was added to a soln. of 560 mg 5-(tert-butyldimethylsiyloxy)-2,3,4,5-tetrahydro-1H-1-benzazepine (prepn. given) in toluene and refluxed overnight to give, after silica gel chromatog., 655 mg 5-(tert-butyldimethylsiyloxy)-1-phenylcarbamoyl-2,3,4,5-tetrahydro-1H-1-benzazepine. In latter compd. (676 mg) was dissolved in 3 mL THF, treated with 2 mL 1 m Bu4NF, and stirred for 5 h to give, after silica gel chromatog., 455 mg 5-hydroxy-1-phenylcarbamoyl)-2,3,4,5-tetrahydro-1H-1-benzazepine. 1-(2,6-Dichlorophenylcarbamoyl)-2,3,4,5-tetrahydro-1H-1-benzazepine in vitro inhibited the binding of (3H)PKN1195 (MBR-selective ligand) to rat brain membrane sample with Ki of 0.09 mM. A tablet formulation conto. 8-fluoro-5-(4-fluorophenyl)-1-(-4-hydroxyphenylcarbamoyl)-2,3,4,5-tetrahydro-1H-1-benzazepine in vitro

(Uses)
(preparation of carbamoyl nitrogen-containing fused ring compds. as mitochondrial benzediazepine receptor antagonists for treating or preventing diseases caused by stress)
58557-29-3 CAPLUS
1H-1-Benzaepine-1-carboxamide, 2,3,4,5-tetrshydro-N-(5-phenyl-1H-pyrazol-3-yl)- (SCI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 14 08/20/2004

L6 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ANSWER 10 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L6 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:3356201 CAPLUS
DOCUMENT NUMBER: 138:3689888 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 13 DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE AFPLICATION NO. DATE

WO 2003037274 A2 20030508 WO 2002-US35172 20021101

WI AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MG, MK, MM, MM, MK, NA, NO, NZ, OM, PH, PI, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, ZM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GM, GM, KE, LS, HW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BR, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, FF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NR, SN, TD, TG

PRIORITY APPLN. INFO: MARPAT 138:368888

GI CONH-

Pyrazolecarboxamides and -sulfonamides were prepared for use in the treatment of diseases through the inhibition of sodium ion flux through voltage-dependent sodium channels, especially pain and chronic pain. The state of the

the IT

amide I was prepared by amidation of the acid chloride with the amine and showed activity at the PN3 Na channel in the 4.1-10 µM range. S21930-91-96
RL: SRM (Synthetic preparation); JEMU (Therapeutic use), BIOL (Biological study), PREP (Preparation); JUSES (Uses)
(preparation of pyrazolecarboxamides and -sulfonamides as sodium channel

blockers)
521930-91-6 CAPLUS
91rea, N-[1-(4-chloropheny1)-5-(trifluoromethy1)-1H-pyrazol-4-y1]-N'-(5-pheny1-1H-pyrazol-3-y1)-(9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
138:24705
138:24705
138:24705
Preparation of spiroisoindolinepiperidinecarboxamides, spiroazaisobenzofurancyclohexanecarboxamides, and related compounds as neuropeptide Y antaqonists.
FUNCENTOR(S):
FURATMI, Takehirov Kanatani, Akio; Ishihara, Akaner, Ishii, Yasuyuki; Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toehihiror Itoh, Takahiro
Japan
SOURCE:
US. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Pat. Appl. 2002 52,371.
CODEN: USXXCO
Patent
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
2 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO.

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US 6336375
US 6336375
US 6336375
US 2002052371
US 6388077
ZA 200200734
US 6462531
US 2002165391
US 2002165391
US 2003055251
US 6649624
JP 200310484
JP 3553560
WO 2003076443
W: AE, AG, AL,
DM, DZ, EC,
LR, LT, LV,
SC, SG, TJ,
KG, KZ, MD,
RW: GH, GM, KE,
CH, CY, CZ,
NL, PT, RO,
GW, ML, MR,
US 2003220499
US 6723947
PRIORITY APPLN. INFO:: PATENT NO. KIND DATE APPLICATION NO. DATE

JP 1999-233573 JP 2000-137692 US 2000-640784 US 2001-983598 JP 2000-247145 US 2002-92549 US 2002-101221 US 2002-226225 A 19990820 A 20000510 A3 20000818 A2 20011025 A3 20000817 A 20020308 A3 20020320 A3 20020823

OTHER SOURCE(S): MARPAT 138:24705

Title compds. [I; Arl = (substituted) aryl, heteroaryl, QAr2; Ar2 - (substituted) aryl, heteroaryl; Q = bond, CO; T, U, V, W = N, (substituted) GH; X = CH; CH(OH); Y = (substituted) imino, O; were prepared Thus, N-tert-butoxycarbonyl-4-piperidne was refluxed 3 h with PKCHZNH2 in PMm to give a residue which was stirred with o-iodobenzoyl chloride and St3N in PNM at 80° for 2 h to give N-benzyl-N-(1-tert-butoxycarbonyl-1,2,3,6-tetrahydropyridin-4-yl)-2-iodobenzamide. The latter was heated with Pd(OAc)2, Ph3P, K2CO3, and EtANCI in MeCN at 80° for 6 h to give 2-benzyl-1'-tert-butoxycarbonyl-1', 6°-dihydrospiro[HH-isoindole-1,4'(5'H)-pyridine]-3(2H)-one. This was converted to N-(4-benzylphenyl)-3-oxospiro[isoindoline-1,4'-piperidine]-1'-carboxamide (II), which inhibited [1251]neuropeptide Y binding to NPY YS receptors with [CS0 = 1.2 M. II drug formulations are given.

320232-23-IP 20232-25-3P 478014-44-TP

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Riclogical study); PREP (Preparation); USES (Uses)

(preparation of spiroisoindolinepiperidinecarboxamides, AB

(Uses)
(preparation of spiroisoindolinepiperidinecarboxamides, spirocyclohexaneisobenzofurancarboxamides, spiroazaisobenzofurancyclohexanecarboxamides, and related compds. as neuropeptide Y antagonists)
328232-23-1 CAPUS
Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
3-oxo-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 11 OF 38 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)

328232-25-3 CAPLUS Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide, 3-oxo-N-(5-(3-quinolinyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

478014-44-7 CAPLUS 478014-44-7 CAPUS Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide, N-[5-(4-chloropheny1)-1H-pyrazol-3-y1]-3-oxo- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

PAGE 1-A

PAGE 2-A

L6 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:695980 CAPLUS
DOCUMENT NUMBER: 171/232544
Tricycloalkatrienes as non-nucleoside reverse
transcriptase inhibitors
Lindstroem, Stefani Sahlberg, Christer Wallberg,
Hans; Kalyanov, Genaidy; Oden, Lourdes; Naeslund,
Lotta
AMERICAN ACS ON COUNTY
DOCUMENT TYPE: Patent
LANGUAGE: Patent
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT;
FAMILY ACC. NUM. COUNT;
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA'	PENT	NO.			KIN	D	DATE					ION			Ē	ATE		
							20020912								2	0020	304	
	2002														_			
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG.	BR.	BY.	BZ.	CA.	CH.	CN.	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC.	EE.	ES.	FI.	GB.	GD.	GE.	GH.	
		GM,	HR,	HU,	ID,	IL,	IN,	IS.	JP,	KE,	KG,	KP,	KR.	KZ,	LC.	LK.	LR.	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ.	OM.	PH.	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ŢJ,	TM,	TN.	TR.	TT.	TZ.	
		UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY.	KG.	KZ.	MD.	RU.	TJ.	1
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	Z₩,	AT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR.	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML.	MR.	NE.	SN.	TD.	TG	
EP	1373	261			A2		2004	0102	1	EP 2	002-	7483	29		2	0020	304	
	R:	ΑT,	BE,	CH,	DΕ,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	si,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
US	2003	06922	24		A1		2003	0410	Ţ	JS 2	002-	9275	2		2	0020	305	
US	6716	350			B2		2004	1406										
US	2003	18726	56		A1		2003:	1002	Į	JS 2	003-	3770	57		2	0030	228	
PRIORITY	APP	LN. J	NFO.	:								733				0010		
									V	70 2	002-1	EP 23	28	1	1 2	0020	304	
									Į	JS 21	002-	275	2	7	13 2	020:	305	
THER SO	URCE	(5):			MARE	TA	137:2	23254	14									

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

Title compds. I [R1 = 0, S; R2 - (un)substituted nitrogen-containing haterocycle, wherein the nitrogen is located at the 2 position relative to the (thio)urea bond; R3 = H, alkyl, R4-R7 = H, alkyl, alkenyl, alkynyl, haloalkyl, alkanyl, haloalkyl, alkanyl, haloalkyl, haloalkyl, alkanyl, haloalkyl, anahoalkyl, carboxyl, carboxyl, cyano, halo, hydroxy, keto; X = (CHR8)ni CHR8)ni D = NR3, 0, S, S(-0), S02; R8 = H, alkyl, haloalkyl; R5 = H, alkyl, n = 0, 1, 2] and prodrugs and pharmaceutically acceptable salts thereof, have utility as inhibitors of HIV-1 reverse transcriptase, particularly drug escape mutants. Thus, benzothiophene was treated with N2CHCO2Et to give Et cis-la, 6b-dihydro-IH-benzo[b]cyclepropa[d]thiophene-l-carboxylate which was hydrolyzed to the acid and treated with (Pho)2PN3 and 2-amino-6-cyanopyridine to give the urea II. II had EDSO in the XTT assay with wild-type HIV-IIIIB of 2 nM. 457627-96-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (tricycloalkatrienes as non-nucleoside reverse transcriptase inhibitors)
457627-96-2 CAPUS
Urea, N. (S-cyclopropyl-IH-pyrazol-3-yl)-N'-[(1S,laR,7bR)-4,7-difluoro-1,1a,2,7b-tetrahydrobenzo[b]cyclopropa(d)pyran-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 13 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

SSSION NUMBER: 2002:658091 CAPLUS

LET 137:185488

LET 140:100 Feparation of N-aryl-N'-azolylureas

TAN, Zhulin; Song, Jinhua J.

ROBERT 150 Sophringer Ingelheim Pharmaceuticals, Inc., USA

COEN: PIXXD2

MENT TYPE: Patent

LINGER FOR STREET ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: INVENTOR(S): PATENT ASSIGNER(S): SOURCE: DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: W0 2002066442 A1 20020829 W0 2002-US2982 20020101
W: CA, JP, MX
KW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
FT, SE, TR
EP 1362037 A1 20031119 20020101

FI, SE, TR

EP 1362037

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL,
1E, FI, CY, TR

JF 2004518739

US 2002123631

PRIORITY APPLN. INFO::

CTHER SOURCES

$$\stackrel{\mathsf{Me}}{\underset{\mathsf{Me}}{\longrightarrow}} \stackrel{\mathsf{H}}{\underset{\mathsf{N}}{\longrightarrow}} \stackrel{\mathsf{H}}{\underset{\mathsf{Ph}}{\longrightarrow}} \stackrel{\mathsf{H}}{\underset{\mathsf{N}}{\longrightarrow}} \stackrel{\mathsf{N}}{\underset{\mathsf{N}}{\longrightarrow}} \stackrel{\mathsf{N}}{\underset{\mathsf{N}}{\longrightarrow}} \stackrel{\mathsf{N}}{\underset{\mathsf{N}}{\longrightarrow}} \stackrel{\mathsf{N}}{\underset{\mathsf{N}}{\longrightarrow}} \stackrel{\mathsf{N}}{\underset{\mathsf{N}}} \stackrel{\mathsf{N}}{\underset$$

OTHER SOURCE(S):

Title compds. were prepared Thus, 4-[2-(4-morpholiny1)ethoxy]-1-naphthaleneamine was N-acylated by ClCO2CH2CCl3 and the product amidated by 5-(1,1-dimethylethyl)-1H-pyrazole-3-amine to give, after N-arylation, title compound I. AΒ

CASREACT 137:185488; MARPAT 137:185488

451480-58-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of N-aryl-N'-azolylureas)

451480-58-3 CAFLUS

Urea, N-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]-N'-[4-[2-(4-morpholinyl)ethoxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L6 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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PAGE 2-A

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 17 08/20/2004

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2002:591913 CAPLUS

DOCUMENT NUMBER:

2002:591913 CAPLUS
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127:502 TITLE:

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: J FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002220338	A2	20020809	JP 2001-18755	20010126
PRIORITY APPLN. INFO.:			JP 2001-18755	20010126
OTHER SOURCE(S):	MARPAT	137:150215		
CI				

This invention relates to the general structures (I; Ar = N-containing

aromatic ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 = H, etc.) and their salts

aronatic ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 - H, etc.) and their to the state of the stat

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-41-5 CAPLUS Urea, N-[5-(hydroxymethyl)-IH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322688-44-8 CAPLUS

Urea, N-[5-[([1-sthylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
322689-49-6 322689-50-9 322689-51-0
322689-52-1 322689-55-5 322689-57-6
322689-53-4 322689-56-5 322689-57-6
322689-58-7 322689-78-8 322689-78-6
322689-71-4 322689-78-8 322689-78-9
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322683-91-0 CAPLUS

Urea, N-(5-phenyl-1H-pyrazol-3-y1)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a)isoindol-9-y1)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-45-9 CAPLUS
Urea, N-[5-[(2-methylpropyl)amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tstrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

322688-46-0 CAPLUS
Urea, N-[S-[[(2,2-dimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

 $322698-47-1 \quad CAPLUS \\ Urea, N-[5-[[(1,1-dimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9)+tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) \quad (CA INDEX NAME)$

322688-49-3 CAPLUS
Urea, N-[5-[[(2-methylphenyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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322688-51-7 CAPLUS
Urea, N-[5-[[(3-methylphenyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

 $\begin{array}{lll} 322698-53-9 & \texttt{CAFLUS} \\ \texttt{Urea, N-[5-[(4-methylphenyl) amino] methyl]-IH-pyrezol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-IH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) & (CA INDEX NAME) \\ \end{array}$

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

PAGE 1-A

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322688-55-1 CAPLUS Urea, N-[5-[[(2-(1-methylethyl)phenyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]iooindol-9-yl)- (9CI) (CA INDEX NAME)

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PAGE 2-A

(Continued)

PAGE 1-A

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

PAGE 2-A

322688-60-8 CAPLUS Urea, N-[5-[([2,3-dihydro-lH-inden-l-yl)amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

PAGE 1-A

PAGE 2-A

 $\label{lem:condition} 322688-58-4 \quad CAPLUS \\ Urea, \ N-[5-[([4-(1-methyl*thyl)phenyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9)-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) \quad (CA INDEX NAME)$

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

PAGE 1-A

PAGE 2-A

322688-62-0 CAPIUS
Urea, N-[5-[([1-phenylethy1] amino]methy1]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

- 322688-64-2 CAPLUS
 Urea, N-{5-[[{1-methylheptyl}amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

- 322688-65-3 CAPLUS Urea, N-(2,3,5,9h-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5-[(1,1,3,3-tetramethylbutyl)amino]methyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)
- ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

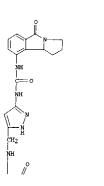
- но-сн2
- 322688-70-0 CAPLUS
 Urea, N-[5-[[(2-hydroxy-1,1-dimethylethyl)amino]methyl]-lH-pyrazol-3-yl)N'-(2,3,5)=bt-etrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
 INDEX NAME)

- 322688-73-3 CAPLUS
 Urea, N-[5-[([hexahydro-2-oxo-1H-azepin-3-y1)amino]methyl]-1H-pyrazol-3-y1)-M'-(2, 3, 5, 9b-tetrahydro-5-oxo-1H-pyrrolo[2, 1-a]isoindol-9-y1)- (9CI)
 (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

- 322688-67-5 CAPLUS Urea, N-[5-[([2,3-dihydro-1H-inden-2-yl)amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

- 322688-69-7 CAPLUS Urea, N-[5-[[[1-(hydroxymethy1)propy1]amino]methy1]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)
- L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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- 322688-74-4 CAPLUS
 Urea, N-[5-[(cyclododecylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxc-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322688-75-5 CAPLUS Urea, N-[5-[[[1-(hydroxymethyl)cyclopentyl]amino]methyl]-1H-pyrazol-3-yl]-N'-[2,3,5-b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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322688-79-9 CAPLUS Urea, N-[5-[(1-azabicyclo(2,2,2)oct-3-ylamino)methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

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322688-78-8 CAPLUS Urea, N-[5-[[[1-(phenylmethyl])-4-piperidinyl]amino]methyl]-1H-pyrazol-3-yl]-N-[2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

322688-80-2 CAPLUS Urea, N-[5-[[(1R)-1-(hydroxymethy1)-2-methy1propy1]amino]methy1]-1H-pyracol-3-y1]-M'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322688-81-3 CAPLUS Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-yl)-N'-[5-[[[1-(3-thienylmethyl)-4-piperidinyl]amino]methyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

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 $\label{eq:capus} \begin{array}{lll} 322688-82-4 & CAPLUS \\ Urea, N-[5-[1(2,3-4) hydro-5,6-dimethoxy-1H-inden-2-y1] amino]methyl]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) & (CA INDEX NAME) \\ \end{array}$

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-87-9 CAPLUS Urea, N-[5-[[(2,3-dihydro-5-methoxy-1H-inden-2-yl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-92-6 CAPLUS Urea, N-[5-[[(5-fluoro-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N' (2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-84-6 CAPLUS
Urea, N-[5-[[(5-chloro-2,3-dihydro-1H-inden-2-y1)amino]methyl]-1H-pyrazol-3-y1)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

322688-85-7 CAPLUS
Urea, N-[5-[1(2,3-dihydro-4-methoxy-1H-inden-2-y1) amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (SCI)
(CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-93-7 CAPLUS
Urea, N-[5-[([5-bromo-2,3-dihydro-1H-inden-2-y1]amino]methyl]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI)
(CA INDEX NAME)

322688-95-9 CAPLUS
Urea, N-[5-[[(4-chloro-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-97-1 CAPLUS
CN Urea, N-[5-[[(2,3-dihydro-2-methyl-1H-inden-2-yl)amino]methyl]-1H-pyrazol3-yl]-N- (2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

RN 322688-98-2 CAPLUS
CN Urea, N-[5-[[(2,3-dihydro-1H-benz[f]inden-2-yl)amino]methyl]-1H-pyrazol-3yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-09-8 CAPLUS

Urea, N-[5-[[(5-chloro-2,3-dihydro-1H-inden-2-yl)methylamino]methyl]-1Hpyrazo-1-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (9Cl) (CA INDEX NAME)

RN 322689-18-9 CAPLUS
CN Urea, N-[5-(2-methylphenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a)isoindol-9-yl)- (9Cl) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 322689-00-9 CAPLUS

Nu Urea, N-[5-[[(2,3-dihydro-1H-benz[e]inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)

(CA INDEX NAME)

RN 322689-08-7 CAPLUS
CN Urea, N=[5-[[(1,1-dimethylethyl)methyl]methyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9C1) (CA
INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-19-0 CAPLUS
CN Urea, N-[5-(2-naphthaleny1)-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9C1) (CA INDEX NAME)

RN 322689-20-3 CAPLUS CN Urea, N-[5-(6-mathyl-2-pyridinyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-0x0-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-21-4 CAPLUS
Urea, N-[5-(1-naphthaleny1)-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

322689-22-5 CAPLUS Urea, N-[\$-(3-methylphenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxolH-pyrrolo(2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-30-5 CAPLUS
Urea, N-(2,3,5,5h-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5-(2-thienyl)-1H-pyrazol-3-yl]- (SCI) (CA INDEX NAME)

 $322689-40-7 \quad CAPLUS \\ Urea, \quad N-[5-[(2S)-5-oxo-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-(2,3,5-pheterahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) \quad (CAINDEX NAME)$

Absolute stereochemistry.

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-27-0 CAPLUS
Urea, N-[5-(2-pyridiny1)-1H-pyrazol-3-y1]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

322689-29-2 CAPLUS Urea, N-[5-[5-methyl-1-(phenylmethyl)-1H-imidazol-4-yl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-49-6 CAPLUS:
Urea, N-[5-[1-(cyclohexylamino)ethyl]-H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-50-9 CAPLUS
Urea, N-(5-acetyl-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-51-0 CAPLUS
Urea, N-[5-[1-(phenylmethoxy)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9h-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-54-3 CAPLUS
Urea, N-(5-[1-(ethylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-55-4 CAPLUS
Urea, N-[5-[1-(propylamino)ethyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

RN CN

322689-52-1 CAPLUS
Urea, N-[5-[1-[(phenylmethyl)amino]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-53-2 CAPLUS
Urea, N-[5-(1-hydroxyethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a)isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-56-5 CAPLUS Urea, N-[5-[1-[[(1R)-1-phenylethyl]amino]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-57-6 CAPLUS
Urea, N-[5-[1-(cyclopentylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-58-7 CAPLUS
Urea, N-(5-[1-(cyclopropylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxc-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-60-1 CAFLUS
Urea, N-[5-[1-(1-piperidinyl)=thyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME) RN CN

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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322689-59-8 CAPLUS
Urea, N-[5-[1-[(1-methylethyl)amino]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-71-4 CAPLUS
Urea, N-[5-[(butylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-72-5 CAPLUS
Urea, N-[5-[(cyclohexylamino)methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-73-6 CAPLUS
Urea, N-[S-[[(phenylmethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-77-0 CAPLUS
Urea, N-[5-{(dimethylamino)methyl]-1H-pyrazol-3-yl}-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl}- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-74-7 CAPLUS
Urea, N-[5-((propylamino)methyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-78-1 CAPLUS Urea, N-[5-(1-piperidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-79-2 CAPLUS Urea, N-[5-(1-pyrrolidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isolndol-9-yl)-(SCI) (CA INDEX NAME)

322689-80-5 CAPLUS
Urea, N-[5-[(methylamino)methyl]-HH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (SCI) (CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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322689-82-7 CAPLUS
Urea, N-[5-[(cyclopentylamino)methyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-83-8 CAPLUS
Urea, N-[5-[[(1-methylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (SCI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-81-6 CAPLUS
Urea, N-[5-[(cycloheptylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9C1) (CA INDEX NAME)

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-84-9 CAPLUS
Urea, N-[5-[{(1-methylbutyl)amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxc-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9Cl) (CA INDEX NAME)

322689-85-0 CAPLUS
Urea, N-[5-[[(1-methylpentyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-86-1 CAPLUS
Urea, N-[5-[[(1-methylhexyl)amino]methyl]-IH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-IH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

 $322689-89-4 \quad CAPLUS \\ Urea, N-[5-([(1,2-dimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) \quad (CA INDEX NAME)$

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)

322689-92-9 CAPLUS Urea, N-[5-[[[(1R)-1-(4-methylphenyl)ethyl]=mino]methyl]-1H-pyrazol-3-yl)- N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX ANXEL

Absolute stereochemistry.

322689-93-0 CAPLUS Urea, N-[5-[[[(1S)-1-(4-methylphenyl)ethyl]amino)methyl]-1H-pyrazol-3-yl]-N-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-90-7 CAPLUS Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5-[[1,2,2-trimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

322689-91-8 CAPLUS Urea, N-[5-[{[1-methyl-1-phenylethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

 $\label{lem:condition} 322689-94-1 \quad CAPLUS \\ Urea, & N-[5-[[[(1R)-1-(1-naphthaleny1)ethy1]amino]methy1]-1H-pyrazol-3-y1]-N'-(2,3,5-9) \\ terahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) \quad (CAINDEX NAME)$

Absolute stereochemistry.

Absolute stereochemistry.

322689-96-3 CAPLUS Urea, N-[5-[[[(IR]-1-cyclohexylethyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-97-4 CAPLUS
Urea, N-[5-[[[4-(diethylamino)-1-methylbutyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-99-6 CAPLUS Urea, N-[5-[[[2-(1H-indol-3-y1)-1-methylethyl]amino]methyl]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1]- (9CI) (CA INDEX NAME)

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322690-00-6 CAPLUS Urea, N-[5-[[[(]R]-1-methyl-3-phenylpropyl]amino]methyl]-1H-pyrazol-3-yl]- N-[2,3,5-9h-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-98-5 CAPLUS
Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5-[(1-tricyclo[3,3.1.13,7]dec-1-ylethyl]amino]methyl]-1H-pyrazol-3-yl]-(9CI) (CA INDEX NAME)

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

322690-01-7 CAPLUS Urea, N-[5-[[(2-methoxy-1-methylethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322690-02-8 CAPLUS
Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-y1)amino]methy1]-1H-pyrazol-3-y1]-N'-(2,3,5,5-b-tetrahydro-2,3-dimethy1-5-oxooxazolo[2,3-a]isoindol-9-y1)-(9CI) (CA INDEX NAME)

RN 322690-03-9 CAPLUS
Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-y1) amino]methyl]-1H-pyrazol-3-y1]-N"-(3,4,6,10b-tetrahydro-2,3-dimethyl-6-oxo-2H-[1,3]oxazino[2,3-a]isoindol-10-y1)- (9CI) (CA INDEX NAME)

RN 322692-20-6 CAPLUS CN Urea, N-[5-[(4-methyl-1-piperazinyl)methyl]-IH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-IH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 322692-31-9 CAPLUS
Urea, N-[5-[(2S)-1-methyl-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

RN 445431-71-0 CAFLUS

(N Urea, N-[5-[[[1-{hydroxymethyl}-2-phenylethyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

RN 322692-24-0 CAPLUS
CN Urea, N-[5-[(25)-1-(phenylmethyl)-2-pyrrolidinyl]-IH-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-IH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 445431-72-1 CAPLUS

Urea, N-[5-[[[(1S)-1-(hydroxymethyl)-2,2-dimethylpropyl]amino]methyl]-1Hpyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 445431-76-5 CAPLUS

(Nea. N-[5-[[(4,5-dichloro-2,3-dihydro-1H-inden-2-y1)amino]methyl]-1Hpyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (9c) (CA INDEX NAME)

RN 445431-80-1 CAPLUS

(Nea, N-[5-[(ZR)-1-[phenylmethyl]-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

EN 445431-82-3 CAPLUS
CN Urea, N-[5-(2R)-2-pyrrolidinyl-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 445431-85-6 CAPLUS
CN Urea, N-[5-[(2s,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 445431-86-7 CAPLUS
CN Utea, N-[5-[(2s,4R)-4-hydroxy-2-pyrrolidiny1]-1H-pyrazol-3-y1]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI)
INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 445431-83-4 CAPLUS
CN Urea, N-[5-[28]-2-pyrrolidinyl-1H-pyrazol-3-y1]-N'-[2,3,5,9b-tetrahydro-5xxo-lH-pyrrolo[2,1-a]isoindol-9-y1]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

HN 445431-84-5 CAPLUS
CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-y1)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 445431-89-0 CAPLUS
CN Urea, N-[5-[(25)-1-formyl-2-pyrrolidinyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

445431-90-3 CAPLUS
Urea, N-[5-[1-(butylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

445431-91-4 CAPLUS Urea, N-[5-[([1,5-dimethylhexyl]amino]methyl]-1H-pyrazol-3-yl]-N'- (2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

445431-92-5 CAPLUS
Urea, N-[5-[[(3-methylbutyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Me2CH-CH2-CH2-NH-CH2

445432-09-7 CAPLUS Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-gyrazol-3-yl]-N'-(3,4,6,10b-tetrahydro-3-methyl-6-oxo-2H-[1,3]oxazino[2,3-a]isoindol-10-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 15 OF 38
ACCESSION NUMBER:
DOCUMENT NUMBER:
136:146987
A Nevel Approach for the Development of Selective Cdk4
Inhibitors: Library Design Based on Locations of Cdk4
Specific Amino Acid Residues
AUTHOR(S):

AUTHOR(S):
Homma, Teruki; Yoshizumi, Takashi; Hashimoto, Noriaki;
Hayashi, Kyokor, Kawanishi, Nobuhikor, Pukasawa,
Kazuhiro; Takaki, Tehru; Ikeura, Chinatsu; Ikuta,
Mari; Suzuki-Takahashi, Ikuko; Hayama, Takashi;
Nishimura, Susumu, Morishima, Hajime,
Nishimura, Susumu, Morishima, Hajime,
Sanyu Tsukuba Research Laboratories, Tsukuba, Ibaraki,
300-2611, Japan
Journal of Medicinal Chemistry (2001), 44(26),
4628-4640
CDEN: JMCMAR; ISSN: 0022-2623
American Chemical Society
Journal
English

Journal English

PUBLISHER: DOCUMENT TYPE: LANGUAGE: GI

Identification of a selective inhibitor for a particular protein kinase without inhibition of other kinases is critical for use as a biol, tool or drug. However, this is very difficult because there are hundreds of homologous kinases and their kinase domains including the ATP binding pocket have a common folding pattern. To address this issue, the authors applied the following structure-based approach for designing selective Cdk4 inhibitors: (1) identification of specifically altered amino acid residues around the ATP binding pocket in Cdk4 by comparison of 390 representative kinases, (2) prediction of appropriate positions to introduce substituents in lead compds, based on the locations of the altered amino acid residues and the binding modes of lead compds,, and (3) library design to interact with the altered amino acid residues supported by de novo design programs. Accordingly, Asp99, thr102, and Gln88 of Cdk4, which are located in the p16 binding region, were selected as first target residues for specific interactions with Cdk4. Subsequently, the 5-position to introduce substituents. The suthors then designed a chemical library of pyrazol-3-ylurea substituted with alkylaminomethyl groups based on the output structures of de novo

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ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) design programs. Thus the authors identified a highly selective and potent CdM inhibitor (I), substituted with a 5-chloroindan-2-ylaminomethyl group. Compd. I showed higher selectivity on CdM over those on not only CdM/2 (780-fold/190-fold) but also many other kinases (>430-fold) that have been tested thus far. The structural basis for CdM selective inhibition by I was analyzed by combining mol. modeling and the x-ray anal, of the CdM mimic CdM2-inhibitor complex. The results suggest that the hydrogen bond with the carboxyl group of Asp99 and hydrophobic van der Waals contact with the side chains of ThriO2 and Gln98 are important. Compd. I was found to cause cell cycle screet of the Rb(+) cancer cell line in the Gl phase, indicating that it is a good biol. tool. 322689-01-00P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel approach for development of selective CdM4 inhibitors and library design of pyrazolylureas based on locations of CdM4 specific amino acid residues in relation to antitumor activity)
322689-01-0 CAPUS
Urea, N. [-S.-[[(12S)-5-chloro-2,3-dihydro-1H-inden-2-yl] amino]methyl]-1H-pyrazol-3-yl]-W'-[(9kS)-2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a)]solute stereochemistry.

Absolute stereochemistry.

IT

322689-07-6F 322689-72-5F 322689-74-7F
322689-75-8F 322689-76-9F 322689-78-1F
322689-79-2C 322689-80-5F 322689-82-7F
32589-79-79 323580-70-08 part (Synthetic preparation); BIOL (Biological study); FREF (Preparation)
(Anovel approach for development of selective Cdk4 inhibitors and library design of pyrazolylureas based on locations of Cdk4 specific amino acid residues in relation to antitumor activity)
322689-07-6 CAPLUS
Urea, N-[5-[[(2S)-5-chloro-2,3-dihydro-1H-inden-2-yl]amino]methyl]-1H-

L6 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-74-7 CAPLUS
Urea, N-[5-[(propylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl}- (SCI) (CA INDEX NAME)

PAGE 2-A

322689-75-8 CAPLUS
Urea, N-[5-[([1-methylethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxc-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) pyrazol-3-yll-N'-({9bR})-2.3,5,9b-tetrahydro-5-oxo-1H-pytrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-72-5 CAPLUS
Urea, N-[5-[(cyclohexylamino)methyl]-IH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-IH-pyrrolo(2,1-a)isoindoi-9-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A

ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) L6

322689-76-9 CAPLUS Urea, N-[5-[[(1,1-dimethylethyl)amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-terahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-78-1 CAPLUS
Urea, N-[5-(1-piperidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isolndol-9-yl)-(SCI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

PAGE 2-A

- 322689-79-2 CAPLUS
 Urea, N-[5-(1-pyrrolidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

- 32269-90-5 CAPLUS
 Urea, N-[5-[chtylamino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]-(9CI) (CA INDEX NAME)

ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

$$\langle \cdot \rangle$$

- 393590-69-7 CAPLUS
 Urea, N-[5-[([25]-1-(phenylmethyl)-2-pyrrolidinyl]methyl]-1H-pyrazol-3-yl]N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

- 393590-70-0 CAPLUS
 Urea, N-[5-[((2S)-1-(phenylmethyl)-2-pyrrolidinyl)methyl]-1H-pyrazol-3-yl]N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

- 322689-82-7 CAPLUS
 Urea, N-[5-[(cyclopentylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A

L6 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

- ΙT
- 322688-41-5P 322693-26-5P 393590-64-2P
 393590-68-6P 393590-75-5P
 RL: RCT (Reactant): SFN (Synthetic preparation): PREF (Preparation): RACT (Reactant or reagent)
 (novel approach for development of selective Cdk4 inhibitors and library design of pyrazolylureas based on locations of Cdk4 specific amino acid residues in relation to antitumor activity)
 322688-41-5 CAPLUS
 Urea, N-[5-(hydroxymethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

- 322693-26-5 CAPLUS
 Urea, N-(5-formyl-1H-pyrazol-3-y1)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

L6 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

393590-64-2 CAPLUS
Urea, N-[5-[(phenylmethoxy)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

393590-68-6 CAPLUS
Urea, N-[5-[{[25]-1-(phenylmethy1)-2-pyrrolidiny1]methy1]-1H-pyrazol-3-y1]N'-[2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

ANSWER 16 OF 38 CAPLUS COPYRIGHT 2004 ACS ON STN SSION NUMBER: 2001:746592 CAPLUS MENT NUMBER: 136:95577

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

CESSION NUMBER: 2001:746592 CAPLUS
CUMENT NUMBER: 136:95577
LLE: 136:95577
LLE: 136:95577
LLE: 136:95577

HOR(S): 136:95577

CHOR(S): 136:95577

C

IТ

RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)

(Uses)
(heterocyclic ureas as raf kinase inhibitors)
389069-99-2 CAPUIS
2-Thiophenearboxylio acid, 5-(1,1-dimethylethyl)-3-[[[(5-methyl-1Hpyrazol-3-yl)amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 17

L6 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

393590-75-5 CAPLUS Urea, N-[5-[[([25)-5-chloro-2;3-dihydro-1H-inden-2-y1]amino]methyl]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:591885 CAPLUS
135:152803 Preparation of 3-aminopyrazole inhibitors of cyclin dependent kinases
INVENTOR(S): 5alvati, Mark E.; Kimball, Spencer David
Bristol-Myers Squibb Co., USA
PCT Int. Appl., 37 pp.
COURNT TYPE: Patent
LNGUAGE: PTXMD2
FAMILY ACC. NUM. COUNT: 1
FAMILY ACC. NUM. COUNT: 1
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	TENT						DATE		APPLICATION NO.								ATE	
WO	2001	0570	34				2001	0809									0010	123
							AU,											
							DZ,											
							KE,											
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO), N	ΙZ,	PL,	PT,	RO,	RU,	SD,	SE,
		SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	T	z, u	JA,	UG,	US,	UZ,	VN,	YU,	ZA,
							KZ,											
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	52	Ζ, Т	z,	UG,	ZW,	ΑT,	BE,	CH,	CY,
							GB,											BF,
							GΑ,											
ΕP	1268																	
	R:						ES,						LI,	LU,	NL,	SE,	MC,	PT,
							RO,											
	2003																	
	20010						2001			US	200	11-7	772	73		2	0010	206
	64828						2002											
	20030						2003		1	US	200	2-2	1984	14		2	0020	315
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The title compds. [I, Rl = R2, COR3, CONH2, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; A = (CR788)jY(CR586)jR4 (wherein i, j = 0-1 but cannot both be 1; Y = ethylene, alkene, alkyne or any 2 adjacent carbon atoms of a cycloalkyl or heterocycloalkyl ring of 3-7 atoms; R4 = alkyl, cycloalkyl, aryl; R5-R8 =

Page 37 08/20/2004

ANSWER 17 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) H, alkyl, cycloalkyl, etc.)] which are protein kinase inhibitors (no data given) and are useful in the treatment of proliferative diseases, for example, cancer, inflammation and arthritis, were propd. E. g., a multi-step synthesis of the pyrazole II was given. Compds. I may also be useful in the treatment of Alzheimer's disease, and cardiovascular disease. 352533~14-3P 352533-20-1P

Double bond geometry as shown.

352533-20-1 CAPLUS Urea, N-(2,6-difluorophenyl)-N'-[5-[(1E)-2-[5-(1,1-dimethylethyl)-2-oxazolyl]ethenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

19

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 18 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT L6 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
135:238519
TITLE:
2001:549128 CAPLUS
TITLE:
Crystallographic approach to identification of cyclin-dependent kinase 4 (CDK4)-specific inhibitors by using CDK4 minic CDK2 protein
Ikuta, Mari; Kamata, Kenji; Fukasawa, Kazuhiro; Honma, Teruki; Machida, Takumitsu Hirai, Hiroshi;
Susuki-Takahashi, Ikuko; Hayama, Takashi; Nishimura, Susumu
CORPORATE SOURCE:
Banyu Tsukuba Research Institute / Merck Research Laboratories, Tsukuba, 300-2611, Japan
SOURCE:
Journal of Biological Chemistry (2001), 276(29), 27548-27554
CODEN; JBCHA3; ISSN: 0021-9258
American Society for Biochemistry and Molecular
Biology
DOCUMENT TYPE:
LANGUAGE:
AB Genetic alteration of one or more components of the p161NK4A-CDK4,6/cyclin
D-retinoblastoma pathway is found in more than half of all human cancers.
Therefore, CDK4 is an attractive target for the development of a novel
anticancer agent. However, it is difficult to make CDK4-specific
inhibitors that do not possess activity for other kinases, especially CDK2,
because the CDK family has high structural bomol. The three-dimensional
structure of CDK2, particularly that bound with the inhibitor, has
provided useful information for the synthesis of CDK2-specific inhibitors, as
provided useful information for the synthesis of CDK3-specific inhibitor, bas
problem, we synthesized a CDK4 minic CDK2 porticularly that bound with the inhibitor, bas
problem, we synthesized a CDK4 minic CDK2 protein in which the ATP binding
pocket of CDK2 was replaced with that of CDK4. This CDK4 minic CDK2 was
crystallized both in the free and inhibitor-bound form. The structural
information thus obtained was found to be useful for synthesis of a
CDK4-specific inhibitor that does not have substantial CDK2 activity.
Namely, the data suggest that CDK4 has addnl. space that will ascommodate
a large substituent such as the CDK4 selective inhibitor. Inhibitors
designed to bind into this large cavity should be selective for CDK4
without having subs

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

ANSWER 19 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ESSION NUMBER:

UMENT NUMBER:

LE:

Preparation of spiroisoindolinepiperidines,
spiroisoemsofurampiperidines, and related compounds
as neuropeptide Y antagonists.

FENTOR(S):

Filami, Takehiror, Kanatani, Akio; Ishihara, Akane;
Ishii, Yasuyuki; Takahashi, Toshiyuki; Haga, Yuji;
Sakamoto, Toshihito; Itoh, Takahiro

BANU Fharmaceutical Co., Ltd., Japan
FCT Int. Appl., 164 pp.
CODEN: FIXAD2

Patent

LLY ACC. NUM. COUNT:
2

English

ENT INFORMATION: INVENTOR (5):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.					KIND DATE			APP.	DATE								
WO 2001014376					A1					WO	2000-	JP54	127			0000	
	W:	ΑE,	AG,	AL,	AM,	ΑU,	AZ,	RA,	BB,	BG	, BR,	BY,	BZ,	CA,	CN,	CR,	CU
		CZ,	DM,	DZ,	ĒĒ,	GD,	GE,	HR,	HU,	ID	, IL,	IN,	IS,	JP,	KG,	KR,	KZ
		LC,	LK,	LR,	LT,	LV,	MA,	MD,	MG,	MK	, MN,	MX,	No,	NZ,	PL,	RO,	RU
		SG,	SI,	5K,	ΤJ,	TM,	TR,	TT,	UA,	US	, UZ,	VN,	YU,	ZA,	AM,	AZ,	BY
					RU,												
	RW:										, TZ,						
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ
				CI,	CM,	GA,	GN,	G₩,	ML,	MR,	NE,	SN,	TD,	TG			
	20000				A		2002	0507		BR :	2000- 2000-	1342	3		2	0000	811
	1204						2002	0515		EP 2	2000-	9519	71		2	0000	811
ΕP	1204				B1		2003	1029									
	R:	ΑT,	BE,	CH,	DΕ,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT
		IE,	SI,	LT,	LV,	FĮ,	RO,	MK,	CY,	ΑL							
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EE	20020	0008	2		A		2003	0616		EE 2	2002-	82			2	0000	
NZ	51705	57			A.		2003	0829	1	NZ 2	2000-	5170	57		2	0000	911
AU	76722	29			B2		2003	1106	-	AU 2	000- 000-	6476	2		21	0000	811
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	66496		31		B2		20031		,	JS 2	002-	4262	25		20	10208	323
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											002-				3 20		

L6 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN OTHER SOURCE(S): MARPAT 134:207809 (Continued)

AB Title compds. [I; Arl - (substituted) aryl, heteroaryl, QAr2; Ar2 = (substituted) aryl, heteroaryl; Q = bond, CO; T, U, V, W = N, (substituted) (If X = N, CH; Y - (substituted) imino], were prepared Thus, N-tert-butoxycarbonyl-4-piperidone was refluxed 3 h with PhCHCN12 in PhMe to give a residue which was stirred with o-iodobenzoyl chloride and EtNN in PhMe at 80° for 2 h to give N-benzyl-N-(1-tert-butoxycarbonyl-1,2,3,6-tetrahydropyridin-4-yl)-2-iodobenzamide. The latter was heated with Pd(OAC)2, Ph3P, KZCO3, and EtMNCl in HeCN at 80° for 6 h to give 2-benzyl-1'-tert-butoxycarbonyl-1;6'-dihydrospiro[H-isoindole-1,4'(5'H)-pyridine]-3(2H)-one. This was converted to N-(4-benzylphenyl)-3-oxospiro[isoindoline-1,4'piperidine]-1'-carboxamide, (II, which inhibited [1251]peptide YY binding to NPY YS receptors with IC50 = 1.2 nM. II drug formulations are given.

IT 328232-23-1B 328232-24-2P 328232-25-3P
RJ: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiroisoindoline)piperidines,
spiroisocharofuranpiperidines, and related compds. as neuropeptide Y antagoniste)

RN 32823-23-1 CAPLUS

RN 32823-23-1 CAPLUS

RN 32823-23-1 CAPLUS

RN 32823-23-1 CAPLUS

RN 32823-23-1 (CAPLUS

Spiro[isobenzofuranpiperidines]-1'-carboxamide,
3-cxo-N-(5-phenyl-1H-pyrazol-3-yl)- (GCI) (CA INDEX NAME)

ANSWER 19 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L6 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328232-24-2 CAPLUS Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide, N-[5-(3-chlorophenyl)-1H-pyrazol-3-yl]-3-oxo-(9CI) (CA INDEX NAME)

328232-25-3 CAPLUS
Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
3-oxo-N-[5-(3-quinoliny1)-1H-pyrazol-3-y1]- (9CI) (CA INDEX NAME)

L6 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:137023 CAPLUS
DOCUMENT NUMBER: 3(5)-Acylaminopyrazole derivatives, process for their
preparation and their use as antitumor agents
INVENTOR(S): Fevarello, Facior Orsini, Facior Traquandi, Gabriella;
Varasi, Marior Fritzen, Edward L., Warpehoski, Martha
A., Flerce, Betsy S., Brasca, Mario Grabriella
Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn
Company

Company PCT Int. Appl., 123 pp. CODEN: PIXXD2 SOURCE:

DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.				KIND DATE				APPLICATION NO.						DATE				
	VO 2001012189							WO 2000-US6699										
	W:	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	CZ,	
		DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IS,	
		JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	
		MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	
											VN,							
		ΚZ,	MD,	RU,	ТJ,	TM												
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	
		DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	
		CG,	CI,	CM,	GA,	GN,	G₩,	ML,	MR,	NE,	SN,	TD,	TG					
AU 2000049714		A5 20010313			AU 2000-49714						2	0000	505					
EΡ	1202	733			A1		2002	0508		EP 2	-000	9319	06		2	0000	505	
	R:										IT,	LI,	LU,	NL,	SE,	MC,	Pī,	
							RO,											
BR	2000	0131	43		A		2002	0611		BR 2	000-	1314	3		2	0000	505	
JP	2003	5073	29		Т2		2003	0225		JP 2	001-	5165	35		2	0000	505	
EΕ	2002	0006	5		A		2003	0415		EE 2	002-	65			2	0000	505	
NZ	5172	37			A		2004	0227	1	NZ 2	000-	5172	37		2	0000!	505	
	6218						2001			US 2	000-	6676)3		2	00009	922	
	2002						2002		1	NO 2	002-	58 4			2	0020:	211	
	2002						2003				002-							
	2002		11				2003				002-					00202		
	1064				A		2002	0930			002-1							
ITY	APP	LN.	INFO.	. :							999-							
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										V O 2	000-t	JS 669	99	W	26	00009	05	
- 50	HRCE	(6) .			MADE	ΔT	134.	17855	2									

OTHER SOURCE(S): MARPAT 134:178552

PR

Compds. which are 3-acylaminopyrazole derivs. (I, e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group: R1 is a straight or branched C1-C6 alkyl or arylalkyl group: R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be

=> d fide can 120

L20 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN **256529-50-7** REGISTRY

ED Entered STN: 24 Feb 2000

CN Urea, N-ethyl-N'-[5-[4-phenyl-5-(trifluoromethyl)-2-thienyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H15 F3 N4 O S

SR CAS Client Services

Ring System Data

Elemental	Elemental	Size of	Ring System	Ring	RID
Analysis	Sequence	the Rings	Formula	Identifier	Occurrence
EA	ES	SZ	RF	RID	Count
========	+=======	+=======	+========	+======	+==== = =====
C4S	SC4	15	C4S	16.145.3	1
C3N2	N2C3	15	C3N2	16.165.13	1
C6	C6	6	C6	46.150.18	1

Calculated Properties (CALC)

PROPERTY (CODE)	VALUE +====================================	CONDITION	TOM	'E
Bioconc. Factor (BCF)		pH 1	(1)	ACD
Bioconc. Factor (BCF)	16837	pH 4	 (1)	ACD
Bioconc. Factor (BCF)	19986	pH 7	(1)	ACD
Bioconc. Factor (BCF)	19989	8 Hq	(1)	ACD
, ,	19963	pH 10	(1)	ACD
	510.8+/-50.0 deg C	760.0 Torr	(1)	ACD
·	78.16+/-3.0 kJ/mol	1	(1)	ACD
	262.7+/-54.2 deg C	1	(1)	ACD
Freely Rotatable Bonds (FRB)		1	(1)	ACD
H acceptors (HAC)	15		(1)	ACD
H donors (HD)	3	1	(1)	ACD
Koc (KOC)		pH 1	(1)	ACD
Koc (KOC)	35098	pH 4	(1)	ACD
Koc (KOC)	41662	pH 7	(1)	ACD
Koc (KOC)	41669	8 Hq	(1)	ACD
Koc (KOC)	41614	pH 10	(1)	ACD
logD (LOGD)	13.69	pH 1		ACD
logD (LOGD)		pH 4	(1)	ACD
logD (LOGD)		1pH 7	(1)	ACD
logD (LOGD)		8 Hq	(1)	ACD
logD (LOGD)		pH 10		ACD
logP (LOGP)	5.963+/-0.571		' ' '	ACD
Molar Solubility (SLB.MOL)	<0.01 mol/L	pH 1	(1)	ACD

Molar Solubility (SLB.MOL)	<0.01 mol/L	pH 4	(1) ACD
Molar Solubility (SLB.MOL)	<0.01 mol/L	1pH 7	(1) ACD
Molar Solubility (SLB.MOL)	<0.01 mol/L	8 Hq	(1) ACD
Molar Solubility (SLB.MOL)	<0.01 mol/L	pH 10	(1) ACD
Molecular Weight (MW)	380.39		
pKa (PKA)	[12.94+/-0.10	Most Acidio	c (1) ACD
pKa (PKA)	3.17+/-0.50	Most Basic	(1) ACD
Vapor Pressure (VP)	1.51E-10 Torr	125.0 deg C	(1) ACD

⁽¹⁾ Calculated using Advanced Chemistry Development (ACD/Labs) Software Solaris V4.76 ((C) 1994-2004 ACD/Labs)

See HELP PROPERTIES for information about property data sources in REGISTRY.

Page 1 08/20/2004

ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN **256529-50-7** REGISTRY

CN Urea, N-ethyl-N'-[5-[4-phenyl-5-(trifluoromethyl)-2-thienyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H15 F3 N4 O S

SR CAS Client Services

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their preparation and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing the 3-aminopyrazole derivative or the pharmaceutically acceptable salt

thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(0)CH2CN; (b) reacting RC(0)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc derivative; (e) reducing this BOC derivative to obtain the amino analog;

(f)
 reacting this amino compound with R1C(O)X (X = OH or a suitable leaving
 group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this
 intermediate in an acidic medium to obtain I. Other methods of preparation are
 also claimed.

IT **326824-37-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-

morpholinocarboxamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(acylaminopyrazole derivs., process for preparation and use as antitumor agents)

RN 326824-37-7 CAPLUS

CN 4-Morpholinecarboxamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

2

ACCESSION NUMBER:

2001:137022 CAPLUS

DOCUMENT NUMBER:

134:193431

TITLE:

3(5)-Ureidopyrazole derivatives, processes for their

preparation and their therapeutic uses including

antitumor agents

INVENTOR(S):

Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha

A.; Pierce, Betsy S.

PATENT ASSIGNEE(S):

Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn

Company

SOURCE:

PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE				APP			DATE					
WC	VO 2001012188			A1 20010222				WO	2000-		20000811							
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
											, FI,							
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP	, KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	ΜX	, MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
											TT,							
		YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD	, RU,	ТJ,	TM					
	RW	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		•			•		-				, LU,							
											, NE,							
US	638				B1 20020514				US 1999-372833						19990812			
ΑU	J 200				A5 20010313								20000811					
EI	120	2734			A1 20020508				ΕP	2000-	9552		20000811					
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	ı							
BF	200	00132	77	-	A		2002	0618		BR	2000-	1327	7		2	0000	811	
													20000811					
	5172								NZ 2000-517238									
ZP	2002	20011	18		Α		2003	0310		ZA 2002-1118					2	0020	208	
NC	2002	20006	87		Α		2002	0403		NO	2002~	687			2	0020	211	
PRIORIT	Y API	PLN.	INFO	. :						US	1999-	3728	33	1	A 1	9990	812	
										WO	2000-	US17	878	1	v 2	0000	811	
OTHER S				MARI	TAS	134:	1934:	31										

AΒ Compds. which are 3(5)-ureidopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(1-piperidinyl)ethyl]urea) or a

pharmaceutically acceptable salt thereof, processes for their preparation and their use as antitumor agents are claimed. In I: R = C1-C6 alkyl, aryl or arylalkyl group, which is optionally substituted with ≥1 OH, halogen, nitro, cyano, oxo, carboxy, amino, alkylamino, dialkylamino, alkylcarbonylamino, alkoxycarbonylamino, alkoxycarbonylalkylamino, aminocarbonylalkylamino, N-alkyl-N-carbonylamino, N-cycloalkyl-Nalkylaminoalkyl, aminoalkyl, aminocarbonyl, alkyl, cycloalkyl, alkylthio, alkoxy, alkylcarbonyl, alkylsulfonyl, alkylsulfonylamino, aminosulfonyl, alkoxycarbonyl, aryl, arylalkyl, aryloxy, arylthio, arylsulfonyl, arylamino, arylcarbonyl, N-alkylpiperazinyl, 4-morpholinyl, perfluorinated C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C2-C4 aminoalkynyl or C2-C4 hydroxyalkynyl substituents. R1 = -(CH2)n-R3. N = 0-4. R3 = H, OH, amino, cycloalkyl, aryl and heterocyclyl, which is optionally substituted with ≥1 OH, halogen, nitro, cyano, oxo, carboxy, amino, alkylamino, dialkylamino, alkylcarbonylamino, alkoxycarbonylamino, alkoxycarbonylalkylamino, aminocarbonylalkylamino, N-alkyl-Ncarbonylamino, N-cycloalkyl-N-alkylaminoalkyl, aminoalkyl, aminocarbonyl, alkyl, cycloalkyl, alkylthio, alkoxy, alkylcarbonyl, alkylsulfonyl, alkylsulfonylamino, aminosulfonyl, alkoxycarbonyl, aryl, arylalkyl, aryloxy, arylthio, arylsulfonyl, arylamino, arylcarbonyl, N-alkylpiperazinyl, 4-morpholinyl, perfluorinated C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C2-C4 aminoalkynyl or C2-C4 hydroxyalkynyl substituents. R2 = H, or R2 and R1, together with the N atom to which they are bonded, form a heterocyclyl or heteroaryl group, which is optionally substituted with ≥1 OH, halogen, nitro, cyano, oxo, carboxy, amino, alkylamino, dialkylamino, alkylcarbonylamino, alkoxycarbonylamino, alkoxycarbonylalkylamino, aminocarbonylalkylamino, N-alkyl-N-carbonylamino, N-cycloalkyl-N-alkylaminoalkyl, aminoalkyl, aminocarbonyl, alkyl, cycloalkyl, alkylthio, alkoxy, alkylcarbonyl, alkylsulfonyl, alkylsulfonylamino, aminosulfonyl, alkoxycarbonyl, aryl, arylalkyl, aryloxy, arylthio, arylsulfonyl, arylamino, arylcarbonyl, N-alkylpiperazinyl, 4-morpholinyl, perfluorinated C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C2-C4 aminoalkynyl or C2-C4 hydroxyalkynyl substituents. When n is 0 and R2 is H, R is a C3-C6 cycloalkyl group optionally substituted with a straight or branched C1-C6 alkyl group. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing I comprises : (a) reacting a 3-amino-5-R-1H-pyrazole with a R1NCO to produce a 1-R1NHC(O)-3-R1NHC(O)NH-5-R-1H-pyrazole and (b) selectively hydrolyzing this intermediate in a basic medium to produce I. Another method comprises (c) reacting a 1-tert-butoxycarbonyl-3-amino-5-R-1H-pyrazole with 4-nitrophenyl chloroformate, or a polymer supported form of 4-nitrophenyl chloroformate, to produce a 1-tert-butoxycarbonyl-3-(4-nitrophenoxycarbonylamino)-5-R-1Hpyrazole, or a polymer supported form; (d) reacting this intermediate with a R1R2NH to produce a 1-tert-butoxycarbonyl-3-(R1R2NC(O)NH)-5-R-1Hpyrazole; (e) hydrolyzing this compound in acidic medium to produce I; and,

Page 4 08/20/2004

optionally, converting the 3-ureidopyrazole derivative into another derivative, and/or into a salt thereof.

IT 326824-37-7P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-morpholinecarboxamide 326919-80-6P, N-(5-Cyclopropyl-1H-pyrazol

Page 40 08/20/2004

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
226920-93-9P, N-(5-Cyclopropy)-1H-pyrazol-3-yl)-N'-(3-hydroxy-4methylphonyl)urea 326920-94-9P, N-(5-Cyclopropy)-1H-pyrazol-3yl)-3-cxo-3, 4-dihydro-1(2H)-quinoxalinecarboxamide 326920-96-1P,
N-(5-Cyclopropy)-1H-pyrazol-3-yl)-N'-(2furylmethyl)urea 326920-98-3P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(2furylmethyl)urea 326920-98-3P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(1,3-benzothiazol-5-yl)urea 326920-99-4P,
N'-(1,3-benzothiazol-5-yl)urea 326920-99-4P,
N'-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(1,3-dimethyl-1H-pyrazol-3-yl) aminol carbonyl aminol-2-methoxyphenyl) acetamide 326921-00-1P,
N'-(5-(1,5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(5-Cyclopropyl-1Hpyrazol-3-yl)-N'-(3-aminophenyl)urea 326921-04-0P,
N'-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(3-hydroxyhenyl)urea
326921-35-9P, N'-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(4hydroxyphenyl)urea 326921-06-6P, N-(5-tert-Butyl-1H-pyrazol-3yl)-N'-(3,4-dimethylbenzyl)urea 326921-07-PP,
N'-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(4hydroxyphenyl)urea 326921-09-9P, N-(5-Cyclopropyl-1Hpyrazol-3-yl)-N'-(2-(5-methoxy-2H-indol-3-yl)-thyl)urea
326921-02P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(2-(pyridinyl)ethyl)urea 326921-11-3P, N-(5-Cyclopentyl-1Hpyrazol-3-yl)-N'-(1-benzyl)-urea 326921-13-5P,
4-(1,3-Benzedioxol-5-ylmethyl-)-N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(4(1,3-Benzedioxol-5-ylmethyl-)-N'-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(2-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(2-Cyclopentyl-1H-pyrazol-3-yl)-N'-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(3-Cyclopentyl-1H-pyrazol-3-yl)-N'-(6 N'-benzylurea 326921-20-4P, N-(5-Phenethyl-IH-pyrazol-3-yl)-N'(4-hydroxybutyl)urea
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREF (Preparation); USES (Uses)
(ureidopyrazole derivs., processes for prepn. and therapeutic uses
including antitumor agents)
326824-37-7 CAPLUS

-Morpholinecarboxamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA

ANSWER 21 OF 38 CAPIUS COPYRIGHT 2004 ACS on STN (continued)
yll-l-pyrrolidinecarboxamide 326920-33-69, 4-(1,3-Benzodicwol-5ylnathyl)-N-(5-phenethyl-1H-pyrazol-3-yl)-l-piperazinecarboxamide
326920-34-79, N-(5-Phenethyl-1H-pyrazol-3-yl)-l-piperazinecarboxamide
326920-37-09, N-(5-Phenethyl-1H-pyrazol-3-yl)-4-phenyl-1H-pyrazol-3yl)-4-phenyl-1-piperazinecarboxamide 326920-36-89, N-(5-Phenethyl-1H-pyrazol-3yl)-4-morpholinecarboxamide 326920-31, N-(5-Phenethyl-1H-pyrazol-3yl)-4-morpholinecarboxamide 326920-31, N-(5-Phenethyl-1H-pyrazol-3yl)-4-morpholinecarboxamide 326920-31, N-(5-Phenethyl-1H-pyrazol-3yl)-4-morpholinecarboxamide 326920-31, N-(5-Phenethyl-1H-pyrazol-3yl)-4-morpholinecarboxamide 326920-31, N-(5-Phenethyl-1H-pyrazol-3-yl)-N-(5-Phenethyl-1H-pyrazol-3-yl)-1N-piperatinecarboxamide
326320-37-09, N-(5-Phenethyl-1H-pyrazol-3-yl)-N'-(3,4-dimethoxy)nenthyl)-urea 326920-42-79, N-(5-Phenethyl-1H-pyrazol-3-yl)-N'-(3,4-dimethoxy)nenthyl-1H-pyrazol-3-yl)-N'-(3,4-dimethoxy)nenthyl-1H-pyrazol-3-yl)-N'-(3,4-dimethyl-1H-pyrazol-3-yl)-N'-(3,4-dimethyl-1H-pyrazol-3-yl)-N'-(4-dimethyl-1H-pyrazol-3-yl)-N'-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(3,4-dimethyl-1H-pyrazol-3-yl)-N'-(3,4-dimethyl-1H-pyrazol-3-yl)-N'-(3,4-dimethyl-1H-pyrazol-3-yl)-N'-(3,4-dimethyl-1H-pyrazol-3-yl)-N'-(3,4-dimethyl-1H-pyrazol-3-yl)-N'-(3,3-dimethyl-1h-pyl-1)-N'-(3,3-di

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (CA INDEX NAME)

326919-81-7 CAPLUS
Benzenesulfonamide, 4-[[[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)

326919-83-9 CAPLUS Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2-(1-pyrrolidinyl)ethyl)-(9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326919-84-0 CAPLUS
CN Urea, N-[2-(3-chlorophenyl)ethyl]-N'-(5-cyclopropyl-lH-pyrazol-3-yl)(9CI) (CA INDEX NAME)

RN 326919-86-2 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-[(2,3-dimethoxyphenyl)methyl)(SCI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Con

RN 326919-90-8 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[(3-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 326919-91-9 CAPLUS
CN Urea, N-[(3,4-dimethoxyphenyl)methyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yll- (9C1) (CA INDEX NAME)

RN 326919-92-0 CAPLUS
CN Urea, N-[(4-chlorophenyl)methyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3yl]- (9C1) (CA INDEX NAME)

RN 326919-93-1 CAPLUS
CN Urea, N-[(3,4-dihydroxyphenyl)methyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]- (9C1) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326919-87-3 CAPLUS CN Urea, N-[(4-chlorophenyl)methyl]-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326919-88-4 CAPLUS
(N Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-(4-piperidinylmethyl)(9C1) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326919-94-2 CAPLUS CN Urea, N-[2-{3-chlorophenyl}ethyl]-N'-[5-(1,1-dimethylethyl)-lH-pyrazol-3yl]- (9CI) (CA INDEX NAME)

RN 326919-95-3 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 326919-96-4 CAPLUS CN Urea, N. (5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(3-fluorophenyl)methyl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326919-97-5 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-[(3,4-dimethoxyphenyl)methyl]-(9C1 (CA INDEX NAME)

RN 326919-98-6 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(3,4-dimethylphenyl)methyl](9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

$$\stackrel{\text{N}}{\underset{\text{N}}{=}} \text{CH}_2 - \text{CH}_2 - \text{NH} - \stackrel{\text{O}}{\text{C}} - \text{NH}$$

RN 326920-03-0 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(5-methoxy-1H-indol-3-yl)ethyl]- (9Cl) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \end{array}$$

RN 326920-04-1 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-1H-indol-6-yl- (9CI) (CA INDEX NAME)

RN 326920-05-2 CAPLUS
CN Urea, N-(1,3-benzodioxol-5-ylmethyl)-N'-(5-cyclopropyl-1H-pyrazol-3-yl)(9C1) (CA INDEX NAME)

RN 326920-06-3 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME) L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326919-99- CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-(2-hydroxy-1-methyl-2phenylethyl)- (9C1) (CA INDEX NAME)

RN 326920-00-7 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-[(1-ethyl-2-pyrrolidinyl)methyl]- (SCI) (CA INDEX NAME)

RN 326920-01-8 CAPUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(2H-imidazol-4-yl)ethyl]-(9CT) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-07-4 CAPLUS CN Urea, N-[(2-chlorophenyl)methyl]-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9C1) (CA INDEX NAME)

RN 326920-08-5 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(2,4-dichlorophenyl)methyl](9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-09-6 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(2-ethoxyphenyl)methyl]- (9CI)
(CA INDEX NAME)

RN 326920-10-9 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(3,4-dichlorophenyl)methyl](9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-13-2 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-[[3(trifluoromethyl)phenyl]methyl)- (9CI) (CA INDEX NAME)

EN 326920-14-3 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(4-methylphenyl)methyl]- (9CI)
(CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 326920-11-0 CAPIUS
CN Utea, N-(5-cyclopropy)-1H-pyrazol-3-y1)-N'-[(3-methoxyphenyl)methyl](961) (CA INDEX NAME)

RN 326920-12-1 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(4-fluorophenyl)methyl]- (9CI)
(CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-15-4 CAPLUS CN 1-Piperazinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-y1)- (9CI) (CA INDEX NAME)

RN 326920-16-5 CAPLUS CN 1-Fiperazinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

RN 326920-17-6 CAPLUS
CN 1-Piperazinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-yl)-4-methyl- (9CI)
(CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326920-18-7 CAPLUS 1-Piperazinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-y1)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

326920-19-8 CAPLUS 4-Morpholinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-y1)- (9CI) (CA RNDEX NAME)

326920-20-1 CAPLUS 1-Piperidinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

 $326920-25-6 \quad CAPLUS \\ Urea. \quad N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-[2-(3,4-dimethoxyphenyl)ethyl]-(9CI) \quad (CA INDEX NAME)$

326920-27-8 CAPLUS Urea, N-(5-cyclopentyl-1H-pyrazol-3-y1)-N'-[2-(4-hydroxyphenyl)ethyl]-(9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

326920-21-2 CAPLUS 1-Piperidinecarboxamide, 4-(aminomethyl)-N-(5-cyclopentyl-1H-pyrazol-3-yl)-(9CI) (CA INDEX NAME)

(Continued)

326920-22-3 CAPLUS Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

326920-23-4 CAPLUS Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(2-phenylethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326920-29-0 CAPLUS Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-propyl- (9CI) (CA INDEX NAME)

326920-31-4 CAPLUS 1-Piperazineoarboxamide, N-{5-cyclopentyl-1H-pyrazol-3-yl}-4-{2-nitro-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326920-32-5 CAPLUS 1-Fyrrolidinecarboxamide, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

326920-33-6 CAPLUS 1-Piperazinecarboxamide, 4-(1,3-benzodioxol-5-ylmethyl)-N-[5-(2-phenylethyl)-H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

OLOSZO-04-7 CAPLUS 1-Fiperazinecarboxamide, N-{5-(2-phenylethyl}-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326920-40-5 CAPLUS 1-Piperidinecarboxamide, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA

Ph-CH2-CH2

326920-42-7 CAPLUS 1-Piperidinecarboxamide, 4-(aminomethyl)-N-[5-(2-phenylethyl)-1H-pyrazol-3-yll- (921) (CA INDEX NAME)

326920-43-9 CAPLUS Urea, N-(2-phenylethyl)-N'-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

326920-45-0 CAPLUS Urea, N-[2-(3,4-dimethoxypheny1)ethy1]-N'-[5-(2-phenylethy1)-1H-pyrazol-3-y1]- [951] (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326920-35-8 CAPLUS 1-Piperazinecarboxamide, 4-phenyl-N-[5-(2-phenylethyl)-1R-pyrazol-3-yl]-(9CI) (CA INDEX NAME)

326920-36-9 CAFLUS 1-Piperazinecarboxamide, 4-methyl-N-[5-(2-phenylethyl)-lH-pyrazol-3-yl]-(SCI) (CA INDEX NAME)

$$\begin{array}{c|c} & & \\ & &$$

326920-37-0 CAPLUS 1-Piperazinecarboxamide, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]-4-(phenylmethyl)- (9GI) (CA INDEX NAME)

326920-38-1 CAPLUS
4-Morpholinecarboxamide, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326920-47-2 CAPLUS Urea, N-[2-(4-hydroxyphenyl)ethyl]-N'-[5-(2-phenylethyl)-lH-pyrazol-3-yl]-(SCI) (CA INDEX NAME)

326920-48-3 CAPLUS Urea, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]-N'-propyl- (9CI) (CA INDEX NAME)

326920-50-7 CAPLUS
1-Piperazinecarboxamide, 4-[2-nitro-4-(trifluoromethyl)phenyl]-N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

326920-51-8 CAPLUS Urea, N-butyl-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-52-9 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2,4-dimethylphenyl)- (9CI)
(CA INDEX NAME)

RN 326920-53-0 CAPLUS CN Urea, N. (S-oydlopropyl-1H-pyrazol-3-yl)-N'-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-60-9 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-(3,5-dimethylphenyl)- (9CI)
(CA INDEX NAME)

RN 326920-61-0 CAPLUS
CN Benzamide, 3-[[[(5-cyclopropyl-lH-pyrazol-3-yl)amino]carbonyl]amino](9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-54-1 CAPLUS CN Benzoic acid, 3-[[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]-(9CI) (CA INDEX NAME)

RN 326920-56-3 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2,3-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 326920-58-5 CAPLUS
CN Benzoic acid, 2-chloro-5-[[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-63-2 CAPLUS
CN Henzoic acid, 5-[[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]-2hydroxy- (9CI) (CA INDEX NAME)

RN 326920-65-4 CAPLUS CN Urea, N-(5-cyclopropyl-1K-pyrazol-3-y1)-N'-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-66-5 CAPLUS
CN Urea, N-(4-cyanophenyl)-N'-(5-cyclopropyl-lH-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326920-68-7 CAPLUS CN Urea, N-(3-acetylphenyl)-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continue

RN 326920-73-4 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 326920-75-6 CAPLUS
CN Urea, N-[5-cyclopropyl-1H-pyrazol-3-yl]-N'-[3-[3-(dimethylamino)-1-propynyl]phenyl}- (9CI) (CA INDEX NAME)

RN 326920-77-8 CAPLUS
CN Hethanesulfonamide, N-{3-[[[(5-cyclopropyl-1H-pyrazol-3-y1)amino]carbonyl]amino]phenyl]- (9C1) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-70-1 CAPLUS
CN Urea, N-1H-benzimidazol-5-yl-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI)
(CA INDEX NAME)

RN 326920-72-3 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-78-9 CAPIUS
CN Acetamide, 2-[[3-[[[(5-cyclopropy1-1H-pyrazol-3-y1)amino]carbony1]amino]pheny1]amino]- (9CI) (CA INDEX NAME)

RN 326920-79-0 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2-hydroxyphenyl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-80-3 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[3-(3-hydroxy-1-butynyl)phenyl](9Cl) (CA INDEX NAME)

RN 326920-81-4 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-1H-indol-5-yl- (9CI) (CA INDEX NAME)

RN 326920-83-6 CAPLUS CN Benzenesulfonamide, 4-[[[(5-cyclopropyl-1H-pyrazol-3-

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-86-9 CAPLUS
CN Acetamide, N-[4-[[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 326920-87-0 CAPLUS
CN Urea, N-[2-[(cyclohexylmethylamino)methyl]phenyl]-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9Cl) (CA INDEX NAME)

RN 326920-88-1 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME) L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) y1)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 326920-84-7 CAPLUS Utea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(3-methoxyphenyl)- (9CI) (CA NDEX NAME)

RN 326920-85-8 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-phenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-90-5 CAPLUS (New York New York Nave) (S-cyclopropyl-1H-pyrazol-3-yl) - (9CI) (CA INDEX NAVE)

RN 326920-91-6 CAPLUS CN Urea, N-(S-cyclopropyl-1H-pyrazol-3-yl)-N'-(3-athynylphenyl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-92-7 CAPLUS
CN Urea, N-(4-aminophenyl)-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326920-93-8 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(3-hydroxy-4-methylphenyl)(9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 326920-97-2 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2-furanylmethyl)- (9CI) (CA INDEX NAME)

$$\overset{\circ}{\bigcirc} \text{CH}_2 \text{-NH-}\overset{\circ}{\bigcirc} \text{NH}$$

RN 326920-98-3 CAPLUS CN Urea, N-5-benzothiazolyl-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326920-99-4 CAPLUS
CN Urea, N-(5-cyclopropy)-1H-pyrazol-3-yl)-N'-(1,3-dimethyl-1H-pyrazol-5-yl)(SCI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-94-9 CAPLUS
CN 1(2H)-Quinoxalinecarboxamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

RN 326920-96-1 CAPLUS CN Urea, N-(S-cyclopropyl-1H-pyrazol-3-y1)-N'-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326921-00-0 CAPLUS
CN Acetamide, N-[5-[[[(5-cyclopropyl-1H-pyrazol-3-y1)amino]carbonyl]amino]-2methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 326921-01-1 CAPLUS
CN Acetamide, N-[3-[[((5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]-4methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 326921-03-3 CAPLUS CN Urea, N-(3-aminopheny1)-N'-(5-cyclopropy1-1H-pyrazol-3-y1)- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326921-04-4 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 326921-05-5 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 326921-10-2 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(2-pyridinyl)ethyl]- (9CI)
(CA INDEX NAME)

RN 326921-11-3 CAPLUS CN Urea, N. (5-cyclopentyl-1H-pyrazol-3-y1)-N'-(4-hydroxybutyl)- (9CI) (CA INDEX NAME)

RN 326921-12-4 CAPLUS
CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-[1-(phenylmethyl)-4piperidinyl] - (9Cl) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326921-06-6 CAFLUS
CN Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[(3,4-dimethylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 326921-07-7 CAPIUS
CN 2(1H)-Isoquinolinecarboxamide, N-(5-cyclopropyl-1H-pyrazol-3-y1)-3,4-dihydro- (9CI) (CA INDEX NAME)

NN 326921-99-9 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyratol-3-yl)-N'-[2-(5-methoxy-2H-indol-3-yl)ethyl]- (9ct) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326921-13-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(1,3-benzodioxol-5-ylmethyl)-N-(5-cyclopentyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326921-14-6 CAPLUS
CN Urea, N-cyclobutyl-N'-(5-cyclopentyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326921-15-7 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-{5-cyclopentyl-lH-pyrazol-3-yl}- (9CI) (CA INDEX NAME)

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ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326921-16-9 CAPLUS Urea, N-cyclobutyl-N'-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

326921-17-9 CAPLUS Urea, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

326921-18-0 CAPLUS Urea, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

326921-20-4 CAPLUS Urea, N-(4-hydroxybuty1)-N'-[5-{2-phenylethy1}-1H-pyrazol-3-y1]- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2001:78363 CAPLUS DOCUMENT NUMBER: 134:147614

TITLE:

134:147614
Preparation of N,N'-biarylurea derivatives as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) Hayama, Takahaih Hayamhi, Kyoko Homma, Mitsutaka, Takahashi, Ikuko Banyu Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 460 pp. CODEN: PIXED2
Patent
Japanese INVENTOR(S):

PATENT ASSIGNEE(5):

DOCUMENT TYPE:

LANGUAGE: Japanese 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND PRIORITY APPLN. INFO.: JP 1999-211384 WO 2000-JP4991 A 19990726 W 20000726 OTHER SOURCE(S): MARPAT 134:147614

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
N-(hetero) aryl-N'-heterocyclylurea derivs. represented by general formula
(1) [wherein Ar represents a nitrogenous heterocyclic accmatic group such a
(un) substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thizolyl,
isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrolazinyl, thizaclyl,
isothiazolyl, isoindolyl, quinolyl, isoquinolyl, perrolyl, imidazolyl,
indolyl, isoindolyl, quinolyl, isoquinolyl, perrolyl, imidazolyl,
benzoxazolyl; X and Z each represents Co SO, or SOZ; XI represents
hydrogen, (un) substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H,
(un) substituted inver alkyl, lower alkynyl, lower alkynyl, lower
cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl,
indazolyl, indolyl, indolidinyl, isothazolyl, stylenedioxyphenyl,
oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl,
quinoxalinyl, quinolyl, etc.; W2 = ingle bond, o. S. SO, SOZ,
N-(un)substituted NH, SOZNH, NHSOZH, NHSOZ, CONH, NHCO, NHCOH, NHCOZ,
etc.; Y3, Y4 = single bond, linear or branched lower alkylener R2 and R3
each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, WZ,
Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms
cyclohexane, cyclopentane, piperidine, 3,4,5,6-tertahydro-1,3-oxazine,
tetrahydrothiopyran, pyrrolidine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiopyrane, pyrrolidine, tetrahydrothiopyrane, pyrrolidine, tetrahydrothiopyrane,
etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, WZ, Y4,
R5 = same as above) or saits thereof are prepared The compdo. (e.g., 11)
have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4
and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence
be provided. II showed ICSO of 0.061 and 0.019 µM against
cyclin-Dick4 and cyclin-D2-Cdk4, resp., vs. 0.15 and 0.05 µM, resp., for (t)-flavopiridol. Pharmaceutical formulations containing
I were prepared
322689-03-P3 322689-05-49 322689-07-69

322689-03-P3 32269-05-49

(preparation of not necessity, ...
inhibitors
of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)
RN 322689-03-2 CAPLUS
CN Urea, N-[5-([((ZR)-5-chloro-2,3-dihydro-1H-inden-2-y1]amino]methy1]-1Hpyrazol-3-y1]-"([9SD)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1a]isoindol-9-y1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-05-4 CAPLUS
Urea, N-[5-[[[(2R)-5-ohloro-2,3-dihydro-1H-inden-2-y1]amino]methyl]-1H-pyrazol-3-y1]-N'-[(5bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-07-6 CAPLUS
Urea, N-[5-[[[(2S)-5-chloro-2,3-dihydro-1H-inden-2-y1]amino]methyl]-1H-pyrazol-3-y1]-N'-[(9bR)-2,3,5,9h-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1]- (GA INDEX NAME)

Absolute stereochemistry.

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

IT 322688-49-3F 322688-51-7F 322688-53-9F 322688-56-1P 322688-60-8F 322688-67-3F 322688-58-4P 322688-60-8F 322688-67-3F 322688-67-3F 322688-67-3F 322688-67-3F 322688-67-3F 322688-67-3F 322688-67-3F 322688-78-78-3F 322688-78-67 322688-73-3F 322688-73-3F 322688-78-8F 322688-78-8P 322688-80-2F 322688-81-8F 322688-95-9F 322688-96-07 322688-97-9F 322688-97-9F 322688-97-9F 322688-97-9F 322689-97-9F 322689-97-9F

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-08-7 CAPLUS
Urea, N-[5-[[(1,1-dimethylethyl)methylamino]methyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-0xo-1H-pyrrolo[2,1-a]imoindol-9-yl)- (9CI) (CA
INDEX NAME)

322689-36-1 CAPLUS Urea, N-[5-[(35)-5-oxo-1-(phenylmethyl)-3-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bs)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 322688-49-3 CAPLUS Urea, N-[5-[(2-methylphenyl)amino]methyl]-HH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322688-51-7 CAPLUS Urea, N-[5-[[(3-methylphenyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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 $322688-53-9 \quad CAPLUS \\ Urea, \quad N-[5-[[(4-methylphenyl) amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (GCI) (CA INDEX NAME)$

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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 $\label{local_continuous} 322688-55-1 \quad CAPLUS \\ Urea, \ N-[5-[[2-(1-methylethyl)phenyl]amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tatrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) \\ INDEX RNME) \\ \\$

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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 $322688-58-4 \quad CAPLUS \\ Urea, N-[5-[[(4-(1-methylethyl)phenyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)$

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Con

RN 322688-64-2 CAPLUS
CN Urea, N-[5-[[(1-methylheptyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322688-65-3 CAPLUS CN Utea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)-N'-[5-[[(1,1,3,3-tetramethylbutyl)amino]methyl]-1H-pyrazol-3-y1)- (9CI) (CA INDEX NAME) L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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RN 322688-62-0 CAPLUS
CN Urea, N-[5-[[(1-phenylethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9C1) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-67-5 CAPLUS
CN Urea, N-[5-[([2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9Cl) (CA INDEX NAME)

RN 322688-68-6 CAPLUS

CN Urea, N-[5-[[[(1R)-1-(hydroxymethyl)-2-phenylethyl]amino]methyl]-1Hpyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-69-7 CAPLUS
CN Urea, N-[5-[[]-(hydroxymethyl)propyl]amino]methyl]-1H-pyrazol-3-yl]-N'(2.3,5,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

RN 322688-70-0 CAPLUS
CN Urea, N=[5-[[(2-hydroxy-1,1-dimethylethyl)amino]methyl]-1H-pyrazol-3-yl]N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continue

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RN 322688-74-4 CAFLUS
CN Urea, N-[5-[(cyclododecylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

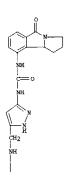
L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-72-2 CAPLUS
CN Utea, N-{5-{[([R,25)-2-hydroxy-1-(methoxymethyl)-2-phenylethyl]amlon]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo(2,1-a)isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322688-73-3 CAPLUS
Urea, N-[5-[[(hexahydro-2-oxo-1H-azepin-3-y1)amino]methyl]-1H-pyrazol-3y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9C1)
(CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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RN 322688-75-5 CAPLUS

(N Urea, N-[5-[[[1-(hydroxymethyl)cyclopentyl]amino]methyl]-1H-pyrazol-3-yl]
N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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RN 322688-76-6 CAPLUS
CN Utea, N-[5-[[([R]-1-(hydroxymethyl)-2,2-dimethylpropyl]amino]methyl]-1Hpyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
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RN 322688-79-9 CAPLUS
Urea, N-[5-[(1-azabicyclo[2.2.2]oct-3-ylamino)methyl]-1H-pyrazol-3-yl]-N'(2.3,5,5)-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

RN 322688-80-2 CAPLUS
Urea, N-[5-[[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]methyl]-1Hpyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-78-8 CAPLUS
Urea, N-[5-[[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-81-3 CAPLUS Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5- [[[1-(3-thienylmethyl)-4-piperidinyl)amino]methyl]-1H-pyrazol-3-yl]- (9C1) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 322688-82-4 CAPLUS
Urea, N-[5-([(2,3-dihydro-5,6-dimethoxy-1H-inden-2-y1)amino]methyl]-1Hpyrazol-3-yl]-"(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (9Cl) (CA INDEX NAME)

RN 322688-84-6 CAPLUS
CN Urea, N-[5-[(5-chloro-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol3-yl]-N'-[2,3,5,9-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 322688-89-1 CAPLUS
Urea, N-[5-[(2,3-dihydro-4,5-dimethoxy-1H-inden-2-y1)amino]methyl]-1Hpyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (9Cl) (CA INDEX NAME)

RN 322688-90-4 CAPLUS
Urea, N-[5-[(7-ethyl-2,3-dihydro-4,5-dimethoxy-lH-inden-2-yl)aminojmethyl-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo(2,1-a)isoindol-9-yl)- (9Cl) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 322688-85-7 CAPLUS
CN Urea, N-[5-{[(2,3-dihydro-4-methoxy-1H-inden-2-y1)amino]methyl]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

RN 322688-87-9 CAPLUS
CN Urea, N-[5-[(2,3-dihydro-5-methoxy-1H-inden-2-y1) amino] methyl]-1H-pyrazol3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI)
(CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-91-5 CAPLUS
CN Urea, N-[5-[[(2,3-dihydro-5-methyl-1H-inden-2-yl)amino]methyl]-1H-pyrazol3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

RN 322688-92-6 CAPLUS

(N Urea, N-[5-[[(5-fluoro-2,3-dihydro-lH-inden-2-yl)amino]methyl]-lH-pyrazol3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)

(CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-93-7 CAPLUS
Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-y1)amino]methyl]-1H-pyrazol-3-y1)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI)
(CA INDEX NAME)

322688-95-9 CAPLUS
Urea, N-[5-[[(4-chloro-2,3-dihydro-1H-inden-2-y1) amino]methyl]-1H-pyrazol-3-y1)-N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI)
(CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-98-2 CAPLUS Urea, N-[5-[[(2,3-dihydro-1H-benz[f]inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,5b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9Cl) (CA INDEX NAME)

322689-00-9 CAPLUS Urea, N-[5-[[(2,3-dihydro-1H-benz[e]inden-2-yi)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-96-0 CAPLUS Urea, N-{5-[({5,6-dichloro-2,3-dihydro-1H-inden-2-y1)amino]methyl]-1H-pyracol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322688-97-1 CAPLUS
Urea, N-[5-[[(2,3-dihydro-2-methyl-1H-inden-2-yl) amino] methyl]-1H-pyrazol-3-yl]-N' (-[(2,3-5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-01-0 CAPLUS
Urea, N-[5-[[[(25)-5-chloro-2,3-dihydro-1H-inden-2-yl]amino]methyl]-1Hpyrazol-3-yl]-N'-[(59s)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $322689-09-8 \quad CAPLUS \\ Urea, N-[5-[[(5-chloro-2,3-dihydro-1H-inden-2-y1)methylamino]methyl]-1H-pyracol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1]- (9CI) \quad (CA INDEX NAME)$

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-20-3 CAPLUS
CN Urea, N-[5-(6-methyl-2-pyridinyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-21-4 CAPLUS

CN Urea, N-[5-(1-naphthalenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-30-5 CAPLUS
CN Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a)isoindol-9-yl)-N'-[5-(2-thienyl)-1H-pyrazol-3-yl]- (9Cl) (CA INDEX NAME)

RN 322689-34-9 CAPLUS
CN Urea, N-(5-[(2S,4R)-4-hydroxy-2-pyrrolidinyl)-1H-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a)isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-22-5 CAPLUS
CN Utea, N-[5-(3-methylphenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-29-2 CAFIUS

Urea, N-[5-[5-methyl-1-[phenylmethyl)-1H-imidazol-4-yl]-1H-pyrazol-3-yl]N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-35-0 CAPLUS
Urea, N-[5-[(25,4R)-4-hydroxy-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322689-40-7 CAPLUS
Urea, N-[5-[(2S)-5-oxo-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-46-3 CAPLUS Urea, N-[5-[(25)-1-methyl-2-pyrrolidinyl]-lH-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolc[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-47-4 CAPLUS Urea, N-[5-[(25)-1-methyl-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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322689-50-9 CAPLUS
Urea, N-(5-acetyl-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-51-0 CAPLUS
Urea, N-[5-[1-(phenylmethoxy)ethyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-cxo-1H-pyrcolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-49-6 CAPLUS
Urea, N-[5-[1-(cyclohexylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 322689-52-1 CAPLUS Urea, N-6-F[1-([phenylmethyl]amino]ethyl]-H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-53-2 CAPLUS
Urea, N-[5-(1-hydroxyethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-54-3 CAPLUS
Urea, N-[5-[1-(ethylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-55-4 CAPLUS
CN Urea, N-[5-[1-(propylamino)ethyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]imoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-56-5 CAPLUS

(Nea, N-[5-[1-[[(1R)-1-phenylethyl]amino]ethyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxe-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 322689-58-7 CAPLUS
CN Urea, N-(5-[1-(cyclopropylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oko-1H-pyrrolo[2,1-a]imoindol-9-yl}- (SCI) (CA INDEX NAME)

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RN 322689-59-8 CAPLUS
CN Urea, N-[5-[1-[(1-methylethyl)amino]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continu

RN 322689-57-6 CAPLUS
CN Urea, N-[5-[1-{cyclopentylamino}ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl}- (SCI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-60-1 CAPLUS
CN Urea, N-[5-[1-(1-piperidinyl)ethyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isolndol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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322689-71-4 CAPLUS Urea, N-[5-[(butylamino)methyl]-lH-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-72-5 CAPLUS
Urea, N-[5-[(cyclohexylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-74-7 CAPLUS
Urea, N-[5-[(propylamino)methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-75-0 CAPLUS
Urea, N-(5-[[(1-methylethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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322689-73-6 CAPLUS
Urea, N-[5-[[(phenylmethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-76-9 CAPLUS Urea, N-[5-[[[1,1-dimethylethyl]amino]methyl]-1H-pyrazol-3-yl]-N'- (c2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-77-0 CAPLUS
Urea, N-[5-[(dimethylamino)methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9Cl) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-78-1 CAPLUS Urea, N-[5-(1-piperidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-81-6 CAPLUS
Urea, N-[5-[(cycloheptylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

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322689-79-2 CAPLUS
Urea, N-[5-(1-pyrrolidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolid[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-80-5 CAPLUS
Urea, N-[5-[(methylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxc-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-82-7 CAPLUS
Urea, N-[5-{(cyclopentylamino)methyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl}- {9Cl} (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-84-9 CAPLUS
CN Urea, N-[5-[[(1-methylbuty1)amino]methyl]-IH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isolndol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-85-0 CAPLUS
CN Urea, N-{5-[((1-methylpentyl)amino]methyl]-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a)isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 322689-88-3 CAPLUS Urea, N-[5-[[(1,3-dimethylbutyl)amino]methyl]-1H-pyrazol-3-yl]-N'- (2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-89-4 CAPLUS
CN Urea, N-{5-{({1,2-dimethylpropyl} amino}methyl}-1H-pyrazol-3-yl}-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl}-(9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-86-1 CAPLUS
CN Urea, N-[5-[(1-methylhexyl)=mino]methyl]-H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrab)ydro-5-oxo-1H-pyrrolo[2,1-a]isolndol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-87-2 CAPLUS CN Urea, N-[5-[[(1,4-dimethylpentyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-90-7 CAPLUS
CN Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-{5[[(1,2,2-trimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 322689-91-8 CAPLUS
Urea, N-[5-[[(1-methyl-1-phenylethyl)amino]methyl]-H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-92-9 CAPLUS
CN Urea, N-[5-[[[IR]-1-(4-methylphenyl)ethyl]amino]methyl]-1H-pyrazol-3-yljN'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 322689-93-0 CAPLUS
Urea, N-[5-[[(15)-1-(4-methylphenyl)ethyl]amino]methyl]-1H-pyrazol-3-yl]N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-96-3 CAPLUS

Urea, N-[5-[[[(IR)-1-cyclohexylethyl]amino]methyl]-H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 322689-97-4 CAPLUS
CN Urea, N-[5-[[[4-(diethylamino)-1-methylbutyl]amino]methyl]-1H-pyrazol-3yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-94-1 CAPLUS
Urea, N-[5-[[(IR)-1-(1-naphthalenyl)ethyl)amino]methyl]-1H-pyrazol-3-yl]N'-(2,7,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 322689-95-2 CAPLUS

(N Urea, N-[5-[[[(1S)-1-(1-naphthaleny1)ethy1]amino]methy1]-1H-pyrazol-3-y1]
N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-98-5 CAPLUS
Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5[[(1-tricyclo[3,3,1,13,7]dec-1-ylethyl)amino]methyl]-1H-pyrazol-3-yl](9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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322689-99-6 CAPLUS Urea, N-[5-[[[2-(]H-indol-3-y1)-1-methylethyl]amino]methyl]-1H-pyrazol-3-y1]-N'-[(2,3,5,9b-tetrahydro-5-exo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

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ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN a]isoindol-10-y1)- (9CI) (CA INDEX NAME) (Continued)

322690-04-0 CAPLUS
Urea, N-[5-[([5-bromo-2,3-dihydro-1H-inden-2-y1)amino]methyl]-1H-pyrazol-3-y1]-N'-[(3,4,6,10b-tetrahydro-2-methyl-6-cxo-2H-[1,3]cxazino[2,3-a]isoindol-10-y1)-(GXINDEX NAME)

322688-42-6P 322688-43-7P 322689-12-3P 322689-14-5P 322689-14-5P 322689-16-7P 322689-17-8P 322689-31-6F 322689-32-7P 322689-39-4P 322689-39-4P 322689-44-1P 322689-45-2P 322726-39-0P 8L: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FUR (Purification or recovery); SFN (Synthetic preparation); TWU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

ANSWER 22 OF 38 CAPLUS COFYRIGHT 2004 ACS on STN (continued) 322690-00-6 CAPLUS Urea, N-[5-[[[(IR)-1-methyl-3-phenylpropyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322690-01-7 CAPLUS Urea, N-[5-[[(2-methoxy-1-methylethyl)amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9GI) (CA INDEX NAME)

322690-03-9 CAPLUS
Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(3,4,6,10b-tetrahydro-2,3-dimethyl-6-oxo-2H-[1,3]oxazino[2,3-

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (prepn. of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents) 322688-42-6 CAPLUS Urea, N-[5-{(4-methyl-1-piperazinyl)methyl]-1H-pyrazol-3-yl]-N'-[(9bS)-2,3,5,9b-ttrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322688-43-7 CAPLUS Urea, N-[5-[(4-methyl-1-piperazinyl)methyl]-1H-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-12-3 CAPLUS Urea, N-[5-[(2S)-1-[phenylmethyl]-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322699-14-5 CAPLUS
CN Urea, N-(5-[(2S)-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'[(985)-2,3,5,98b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 322689-16-7 CAPLUS
CN Urea, N-[5-[(2R)-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'[(985)-2,35,980-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-32-7 CAPLUS CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322689-33-8 CAPLUS
Urea, N-[5-[(2S,4R)-4-{phenylmethoxy}]-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'[[9RR]-2,3,5,9b-tatrahydro-5-oxo-lH-pyrrolo(2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-17-8 CAPLUS
CN Urea, N-[5-[(2R)-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 322689-31-6 CAPLUS
CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-y1)-N'-(9bS)-2,3,5,9b-tetrahydro-5oxo-1H-pyrrolo[2,1-a]isoindol-9-y1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-37-2 CAPLUS
CN Urea, N-{5-{(3R)-5-oxo-1-{phenylmethyl}-3-pyrrolidinyl}-1H-pyrazol-3-yl}N'-{(9hs)-2,3,5,9h-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl}- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 322689-38-3 CAPLUS
CN Urea, N=[5-{(35)-5-oxo-1-(phenylmethyl)-3-pyrrolidinyl]-1H-pyrazol-3-yl]N'-{(9bb)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-39-4 CAPLUS Urea, N-[5=[(3R)-5-oxo-1-(phenylmethyl)-3-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(5R)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-44-1 CAPLUS Urea, N-[5-[(2S)-1-formyl-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents) 322681-94-7 CAPIUS Urea, N-(9-0x0-9H-fluoren-4-yl)-N'-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

322683-91-0 CAPLUS
Urea, N-(5-phenyl-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

322689-45-2 CAPLUS Urea, N-[5-[(28)-1-formyl-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrabydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA

Absolute stereochemistry.

322726-83-0 CAPLUS
Urea, N-(5-[(25,4R)-4-(phenylmethoxy)-2-pyrrolidinyl)-1H-pyrazol-3-yl]-N'[(9b5)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry,

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322688-41-5 CAPLUS
Urea, N-[5-(hydroxymethyl)-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-H-pyrralo(2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322688-44-8 CAPLUS
Urea, N-[5-[[(1-ethylpropyl)amino]methyl]-1H-pyra201-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

 $\begin{array}{lll} 322688-45-9 & \texttt{CAPLUS} \\ \texttt{Urea, N-(5-[[(2-methyl)propyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) & (CA INDEX NAME) \\ \end{array}$

322688-46-0 CAPLUS Urea, N-[5-[(2,2-dimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-19-0 CAPLUS
Urea, N-[5-(2-naphthaleny1)-1H-pytazol-3-y1]-N'-(2,3,5,9b-tetrshydro-5-oxo-1H-pytrolo(2,1-a];soindol-9-y1)- (9CI) (CA INDEX NAME)

322689-23-6 CAPLUS
Urea, N-[5-(25)-2-pyrrolidinyl-1H-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322688-47-1 CAPLUS Urea, N-[5-[[(1.1-dimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-18-9 CAPLUS Urea, N-[5-(2-methylphenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-H-pyrrolo(2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-24-7 CAPLUS Urea, N-[5-(25)-2-pyrrolidinyl-1H-pyrazol-3-yl]-N'-((9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-25-8 CAPLUS
Urea, N-[5-(2R)-2-pyrrolidinyl-1H-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-26-9 CAPLUS
Urea, N-[5-(2R)-2-pyrrolidinyl-1H-pyrazol-3-yl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-27-0 CAPLUS Urea, N-[5-{2-pyridinyl}-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl}- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322692-20-6 CAPLUS
Urea, N-[5-[(4-methyl-1-piperazinyl)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-48-5 CAPLUS Urea, N-[5-[1-(butylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-0xo-1H-pyrrolo[2,1-a]isoindol-9-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

322690-02-8 CAPLUS Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-yl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,5)b-tetrahydro-2,3-dimethyl-5-exoexazolo[2,3-a]isoindol-9-yl]-(9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



322692-31-9 CAPLUS
Urea, N-[5-{(25)-1-methyl-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322692-24-0P 322693-26-5P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors

cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)
322632-24-0 CAELUS
Urea, N-[5-[(25)-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

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ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322693-26-5 CAPLUS Urea, N-(5-form)1-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 23 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

The title compds. [I, V = CO2R2, CONR2R3, CONR2CR3, etc. (wherein R2, R3 = H, alkyl); A = (CH2)n(CR8R9)bNR7, (CR8R9)b(CH2)nNR7, (CR8R9)b(CH2)n, etc. (b = 0-1, n = 0-3; R7 = H, alkyl), (cycloalkyl)alkyl) R8, R9 = H, alkyl); Y = CO, SO2, O, a bondy Z = (un)substituted phenylene, divalent radical derived from 5-6 membered heteroarom. ring containing 1-2 heteroatoms

- CO, SO2, O, a bond; Z = (un)substituted phenylene, divalent radical derived from S-6 membered heteroarom.ring onclaining 1-2 heteroatoms selected

from N, O and S; or AYZ together = II; Rl = H, alkyl; X = CO(CRI3R14)**(CRI2)**s, SO2(CRI3R14)**r(CRI2)**s, etc. (r = O-1; s = O-3; Rl, Rl4 = H, alkyl)*; D = (un)substituted Ph, pyridyl, cyclopropyl, etc.; E = (un)substituted quinolinyl, 2,5-dioxopiperidinyl, hiphenylalkyl, etc.] which act to antagonize the action of the glucagon hormone on the glucagon receptor (data given), and therefore may be suitable for the treatment and/or prevention of any glucagon-mediated conditions and diseases such as hyperglycemia, Type 1 diabetes, Type 2 diabetes and obesity, were prepared and formulated. E.g., a multi-step solid phase synthesis of III was given. Compds. I are effective at 0.05-10 mg/kg/day.

IT 307985-04-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study), unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); DESS (Uses)

(preparation of 3-Chenzoylamino) propionic acid derivs. as glucagon antagonists/inverse agonists)

NN 307985-04-2 CAPIUS

NB -Alanine, N-(4-([[trans-4-(1,1-dimethylethyl)cyclohexyl]][[5-phenyl-1H-pyrazol-3-yl)amino] carbonyl] amino] methyl] benzoyl] - (9CI) (CA INDEX NAME)

Relative stereochemistry.

Relative stereochemistry.

L6 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:824211 CAPLUS
134:4764
INTITLE: 2134:4764
INVENTOR(S): 214:4764
INVENTOR(S): 215:4764
INVENTOR(S): 216:4764
INVENTOR(S): 21

DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION:

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	2000										2000-						
											, BG,						
											, GB,						
		ID,	IL,	IN,	15,	JP,	KE,	KG,	KP,	KR	, KZ,	LC,	LK,	LR,	LS,	LT,	LU,
											, NZ,						
		SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	ΤZ	, UA,	UG,	UZ,	VN,	ΥU,	ZA,	ZΨ,
							MD,										
	RW.	GH,	GM,	KΕ,	LS,	MW,	SD,	SL,	SZ,	TZ	, UG,	ZW,	AT,	BE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU	, MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE	, SN,	TD,	TG				
US	6503	949			В1		2000	0516		US	2000-	5725	53		2	0000	516
EP	1183	229			A1		2002	0306		ΕP	2000-	9267	25		2	0000	516
	R:	AT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO										
BR	2000	0106	51		A		2002	0319		BR	2000- 2000-	1065	1		2	0000	516
JP	2002	5442	54		т2		2002	1224		JP	2000-	6182	28		2	0000	516
ZA	2001	0085	60		A		2002	0613		ZA	2001- 2001- 2002-	8560			2	0011	018
NO	2001	0056	07		A		2002	0117		NO.	2001-	5607			2	0011	116
US	2003	2203	50		A1		2003	1127		US	2002-	2338	51		2	0020	830
RIORIT	Y APP	LN.	INFO	. :						DK	1999- 2000-	684		- 2	A 1	9990	517
										DK	2000-	478		- 1	A 2	0000	321
											1999-						
											2000-						
										US	2000-	5725	53		43 2	0000	516
										WO	2000-	DK26	4	1	7 2	0000	516
THER SO	URCE	(S):			MARI	PAT	134:	4764									

ANSWER 23 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 72 08/20/2004

CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
133:344173
1:Phenyl-5-pyrazolyl ureas: potent and selective p38
kinase inhibitors
Dumas, J., Hatoum-Mokdad, H.; Sibley, R.; Riedl, B.;
Scott, W. J.; Monahan, M. K.; Lowinger, T. B.;
Brennan, C.; Natero, R.; Turner, T.; Johnson, J. S.;
Schoenleber, R.; Bhargava, A.; Wilhelm, S. M.;
Housley, T. J.; Ranges, G. E.; Shrikhande, A.
Department of Chemistry Research, Bayer Research
Center, West Haven, CT, 06516, USA
Bioorganic & Medicinal Chemistry Letters (2000),
10(18), 2051-2054
COUMENT TYPE:
DOCUMENT TYPE:

10

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal
LANGUAGE: English

AB Inhibitors of the MAP kinase p38 are potentially useful for the treatment
of arthritis and osteoporosis. Several 2,3-dichlorophenyl ureas were
identified as small-mol. inhibitors of p38 by a combinatorial chemical
effort. Optimization for cellular potency led to the discovery of a new
class of potent and selective p38 kinase inhibitors, exemplified by the
1-phenyl-5-pyrazolyl urea 7 (ICSo-13 nM).

IT 229001-84-7P

RL: FAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); FRP (Properties); SFN (Synthetic preparation); RIOL
(Biological study); PREP (Preparation)
(1-Phenyl-5-Pyrazolyl ureas: preparation and inhibition of p38 kinase in
relation to structure)

RN 229001-84-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-IH-pyrazol-3-yl](SCI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

The title compds. I [V, W, X, Z = CH, N; R1 = H, alkyl, etc.; R2 = CHO, etc.; R3 = H, alkyl; Ar = aryl, heteroaryl; R4, R5 = H, nitro, etc.] are prepared I are useful in the treatment of obesity and the complications associated therewith. 1-Methaneulfonyl-N-(5-phenyl-2-pyrazinyl)spiro(indoline-3,4'-piperidine)-1'-carboxamide at 3 mg/kg suppressed bovine pancreatic polypeptide-induced food intake in rats. Formulations are given. 268537-08-29 268537-03-39 RL: RAC [Riological activity or effector, except adverse); ESU [Riological study, unclassified), SPN [Synthetic preparation); THU (Therapeutic use); BIOL (Riological study); PRFP [Preparation] USES (Uses) (preparation of spiroindolines as Y5 receptor antagonists) 268537-08-2 CAPLUS Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]-1,2-dihydro-1-(methylsulfonyl)- (SCI) (CA INDEX NAME)

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L6 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:335409 CAPLUS
DOCUMENT NUMBER: 132:334474
Preparation of spiroindolines as Y5 receptor antagonists
Gao, Ying-duo; Macneil, Douglas J., Yang, Lihu; Morin, Nancy R.; Fukami, Takehiro; Kanatami, Akio; Fukuroda, Takahiro; Ishii, Yasuyuki, Morin, Masaki
HATENT ASSIGNEE(S): Herck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd., et al.
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: LANGUAGE: English
FAMILY ACC. NUH. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT 1	No.			KINI)	DATE			API	PLI	CAT	ION	No.			DA	TE	
	2000																		
	W:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	Bo	3,	BR,	ΒY,	CA,	CH,	C	ι,	CR,	CU,
								FI,											
		IN,	IS,	JP,	KΕ,	KG,	KR,	KZ,	LC,	L	ζ,	LR,	LS,	LT,	LU,	L	7, 1	MA,	MD,
								NZ,											
		SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US	5,	UΖ,	VN,	ΥU,	ZA,	Z٧	7, .	AM,	ΑZ,
		BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM											
	RW:							SL,											
								IE,								BF	٠, ١	ВJ,	CF,
		CG,	CI,	CM,	GΑ,	GN,	. G₩,	ML,	MR,	NE	S,	SN,	TD,	TG					
US	6191	160			В1		2001	0220		US	19	99-	4361	20			19	991	108
EP	1129																		
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		ΙE,	SI,	LT,	LV,	FI,	RO												
AU	75679 63132	97			B2		2003	0123		ΑU	20	000-	1473	2			19	991:	108
US	63132	298			B1		2001	1106		US	20	000-	6566	98			20	0009	907
US	20020 6495	0588	13		A1		2002	0516		บร	20	001-	969	40			20	010	529
US	6495	559			B2		2002	1217											
US	66389	942			B1		2003	1028		US	20	02-1	2282	50			20	0208	26
					A1		2004	0401		US	20	03-0	6244	14			20	0301	721
PRIORITY	(APP	LN.	INFO	.:						US	19	98-	1078	35P		P	19	981:	110
										US	19	99-	4361: US26	20		AЭ	19	991	108
										wo	19	99-1	JS26	447	,	W	19	991:	108
										US	20	000-0	6566	98		A3	20	0009	907
													8969						
										US	20	102-2	2282	50		A3	20	0208	126
OTHER SO	URCE	(S):			MARP	AT	132:	33447	4										

L6 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued) PAGE 2-A

268537-09-3 CAPLUS Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, 1,2-dihydro-N-[5-(5-methoxy-3-pyridinyl)-1H-pyrazol-3-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

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1

268537-11-7 CAPLUS
Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, 1,2-dihydro-N-[5-(3-methoxypheny1)-1H-pyrazol-3-yl]-1-{methylsulfony1}- (9CI) (CA INDEX NAME)

L6 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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268537-13-9 CAPLUS
Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, N-[5-(3-chlorophenyl)-1H-pyrazol-3-yl]-1,2-dihydro-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

268537-33-3 CAPLUS Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]-1-(ethylsulfonyl)-1,2-dihydro- (9CI) (CA INDEX NAME)

PAGE 1-A

L6 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

268537-16-2 CAPLUS Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, 1,2-dihydro-1-(methylsulfonyl)-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 2-A

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

Page 74 08/20/2004

L6 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:314698 CAPLUS
132:334455
ITITLE: 2000:314698 CAPLUS
132:334455
INVENTOR(S): 2-Ureidothiazole derivatives, process for their preparation, and their use as antitumor agent: Fevarello, Paolo-Amioi, Raffaella; Traquandi, Gabriella; Villa, Manuela; Vulpetti, Anna; Isacchi, Antonella
PATENT ASSIGNEE(S): PARMECIA (Pp) ANTICA (PP) ANTICA (PP) COEN: PIXXD2

DOCUMENT TYPE: PIXXD2
Fatent

DOCUMENT TYPE: Patent English 1 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		FENT				KIN		DATE			APP	LICAT	ION	No.		D	ATE	
								2000	0511		wo	1999-	EP83	07		1	9991	027
		W:										, CZ,						
			IL,	IN,	IS,	JP,	KP,	KR,	LC,	LK,	LB	, LT,	LV,	MG,	MK,	MN,	MX,	NO,
												, UA,						
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM								
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												, SN,						
												1999-						
	EP											1999-						
		R:	ΑT,	BE,	CH,	DΕ,	DK,	Es,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
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		2002							0903			2000-					9991	
		5109							1031			1999-					9991	
		7711				B2		2004				2000-					9991	
		2001						2001				2001-					0010	
		2001				Α			0628			2001-					0010	
		2003				A1			1002			2001-					0010	
		2004				A1		2004	0812			2004-					0040	
PRIO	RIT!	APP:	LN.	INFO	.:							1998-					9981	
												1999-					9991	
											US	2001-	8306	68	1	A12	0010	430

MARPAT 132:334455 OTHER SOURCE(S):

$$\begin{array}{c|c}
 & \circ \\
 & \downarrow \\$$

The title 2-ureido-1,3-thiazole derivs. I and their pharmaceutically acceptable saits are disclosed (wherein R = halo, nitro, (un)substituted amino, Cl-6 alkyl, C3-6 cycloalkyl, aryl, or arylalkyl R = (un)substituted Cl-6 alkyl, 3- to 6-membered carbocycle or 5- to 7-membered heterocycle, aryl, arylcarbonyl, or arylalkyl; R2 = H, straight

L6 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:425745 CAPLUS
DOCUMENT NUMBER: 131:87905
INIVENTOR(S): 131:87905
Dumas, Jacques, Khire, Uday, Lowinger, Timothy Bruno;
Paulsen, Holger, Riedl, Bernd; Scott, William J.;
Smith, Roger A.; Wood, Jill E.; Hatcum-Hockad, Holiar
Johnson, Jeffrey, Lee, Wendy, Redman, Aniko
Bayer Corporation, USA
SOURCE: 200EN: PIXXD2
DOCUMENT TYPE: Patent

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PF

	PAT	PENT	NO.			KIN	D		:			ICAT					ATE		
	wo	9932	111			A1	_										9981	222	
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			TR,	TT,	UA,	UG,	UZ,	VN,	YU,	Z₩,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	Th
		RW:	GH,	GM,	KE,	LS,	M₩,	SD,	SZ,	υG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,	
			FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	
						G₩,													
		2315																	
	ΑU	9919	971			A1		1999	0712		AU 1	999-	1997	1		1	9981	222	
		7396																	
	EΡ	1041																	
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
						LV,													
		2001																	
RIOR	ITY	APP	LN.	INFO	.:							997-							
											WO 1	998-	US26	080	1	¥ 1	9981	222	
THER	. 50	URCE	(S):			MAR	PAT	131:	8790	9									

A method for treatment of p38-mediated disease other than cancer comprises administration of ANNCOMHS [Ir λ = substituted isoxazoly1, pyrazoly1, thieny1, Fuyy1; F = (substituted) mono-, di-, or tricyclic ary1, heteroary1 containing ≥ 1 5-6 membered aromatic structure containing 0-4 N,

or S atoms]. Reaction of 4-(4-pyridinylthio)aniline with 3-tert-butyl-5-isoxazolyl isocyanate in toluene gave title compound II. In an in vitro p38 kinase assay, I displayed IC50 values of 1-10 µM.

ANSWER 26 OF 38 CAPLUS COFYRIGHT 2004 ACS on STN (Continued) or branched C1-4 alkyl, C2-4 alkenyl, or alkynyl; or NRIR2 = (un)substituted, optionally benzo-condensed or bridged 5- to 7-membered heterocycle, or 9- to 11-membered spiro-heterocycle]. The compds are active as cdk/cyclin inhibitors, and are useful for treating cell proliferative disorders assocd. with an altered cell dependent kinsse activity. The proliferative disorders include cancer and a wide variety of other conditions, such as Alzheimer's disease, viral infections, autoimmune diseases, and neurodegenerative disorders. Over 230 invention compds. are claimed and/or prepd. in examples. For instance, reaction of Ph isocynate with 2-amino-5-bromo-1,3-thiazele hydrobronide in the presence of Et3N gave title compd. I (R = Br, R1 = Ph, R2 = H). The similarly prepd. title compd. I (R = iso-Pr, R1 = 3,5-dimethylphenyl, R2 = R] inhibited cdk2/cyclin A complex in vitro with an IC50 of 0.56 µM.

267432:17-77 267432:18-98 PRI RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses) (target compound; preparation of ureidothiazole derivs, as antitumor tsp.)

agents)

RN 267432-17-7 CAPLUS

CN Urea, N-[5-(2-furanyl)-1H-pyrazol-3-yl]-N'-[5-(1-methylethyl)-2-thiazolyl]
(9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 267432-18-8 CAPEUS
CN Urea, N-[5-(1-methylethyl)-2-thiazolyl]-N'-(5-phenyl-1H-pyrazol-3-yl)-(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

ANSWER 27 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
229001-78-99 229001-83-69 229001-84-79
229001-85-89 229001-86-99 229001-91-69
229001-89-29 229001-90-59 229001-91-69
229001-92-79 229002-92-09 229155-37-79
229155-72-09
RL: BAC (Biological activity or effector, except adverse); BSU (Biological actudy, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted beterocyclic ureas for treatment of p38 kinase-mediated diseases other than cancer)
229001-78-9 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazo1-3-yl]-N'-[4-(4-methoxyphenoxy)phenyl]- (9CI) (CA INDEX NAME)

229001-83-6 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-(4-phenoxyphenyl)-(3CI) (CA INDEX NAME)

229001-84-7 CAPLUS Urea, N-(2,3-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]-(9CI) (CA INDEX NAME)

229001-85-8 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

229001-86-9 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[3-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

229001-87-0 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

229001-89-2 CAPLUS
Benzamide, 3-[4-[[[5-{1,1-dimethylethyl}-1H-pyrazol-3yl]amino] carbonyl]amino] phenoxy]-N-methyl-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CRN 229001-88-1 CMF C22 H25 N5 O3

ANSWER 27 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

229002-92-0 CAFLUS Urea, N-(3,4-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-(SCI) (CA INDEX NAME)

229155-37-7 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]-N'-{4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

229155-72-0 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[3-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 02

-C02H

229001-90-5 CAPLUS Urea, N=[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

229001-91-6 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazo1-3-yl]-N'-[4-(4-methylphenoxy)phenyl]- (9CI) (CA INDEX NAME)

229001-92-7 CAPLUS Urea, N-[3-(2-benzothiazolyloxy)phenyl]-N'-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]- (9c1) (CA INDEX NAME)

ACCESSION NUMBER:

ACCESSION NUMBER:

DOCUMENT NUMBER:

1399:425740 CAPLUS

131:73648

Inhibition of raf kinase using substituted heterocyclic ureas

DOCUMENT SERVICE SUBSTITUTE SUBSTITUT

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

											LICAT					ATE		
											1998-					9981	222	
											BY,							
		DK.	EE,	ES,	FI.	GB,	GD,	GE,	GH,	GM	HR,	HU,	ID,	IL,	IN,	IS,	JP,	
		KE.	KG,	KP.	KR.	KZ.	LC,	LK,	LR,	LS	LT,	LU,	LV,	MD,	MG,	MK,	MN,	
		MW.	MX,	NO.	NZ.	PL.	PT.	RO,	RU,	SD	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	
		TR.	TT,	UA,	UG,	UZ,	VN,	YU,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW	AT,	BE,	CH,	CY,	DE,	DK,	ES,	
		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	
		CM,	GA,	GN,	G₩,	ML,	MR,	NE,	SN,	TD,	TG							
CA	2315	717			AA		1999	0701		CA :	1998-	2315	717		1	9981	222	
AU	9921	989			A1		1999	0712	- 2	AU :	1999-	2198	9		1	9981	222	
EP											1998-							
									GB,	GR,	IT,	LI,	LU,	ΝL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO											
TR	2000	0261	8		T2		2001	0420		rr a	2000-	2000	0261	€	1	9981	222	
JP	2001	5262	20		12		2001	1218		JP 2	2000-	5250	97		1	9981	222	
BR	9814	374			A		2002	0514]	BR :	1998- 2000-	1437	4		1	9981	222	
RU	2232	015			C2		2004	0710	1	RU 2	2000-	1201	84		1	9981	222	
					A		2000	0821	1	NO 2	-000	3232			2	0000	521	
	1045				Α		2001	0228			-000							
PRIORITY	APP.	LN.	NFO	. :					1	JS :	997-	9963	43	- 1	A 1	9971	222	
										10	998-	US 26	078	,	# 1:	9981	222	
OTHER SO	URCE	(S):			MARI	AT	131:	73648	3									

A method for treatment of cancerous cell growth mediated by raf kinase comprises administration of urea derivs. ANHCONHB [I; A = substituted isoxazoly], thienyl, thiadiazoly], furyl, pyrazolyl, etc., B = (substituted) mono, di-, or tricyclic argl, heteroaryl containing \geq 1 5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction AB

Page 76 08/20/2004

ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 4-phenyloxyphenyl isocyanate with 5-amino-3-tert-butylisoxazole in methylene chloride and heating at reflux temp. for 2 days gave title compd. II. In an in vitro raf kinase assay, I displayed IC50 values of

COMPORT. 11. In an in vitro rai kinase assay, i displayed loss values of 1-10 µM.
229001-77-8P 229001-83-8P 229001-79-0P
229001-80-3P 229001-81-4P 229001-82-5P
229001-83-6P 229001-81-0P 229001-83-2P
229001-93-5P 229001-91-6P 229001-92-7P
229002-79-2P 229002-92-0P
RL: BAC (Riological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use);
BIOL (Biological study); PREF (Preparation); USES (Uses)
(preparation of substituted heterocyclic ureas for treatment of cancerous cell growth mediated by raf kinase)
229001-77-8 CAPLUS
Urea, N-(4-(4-acetylphenoxy)phenyl)-N'-(5-(1,1-dimethylethyl)-1H-pyrazol-3-yl)- (SCI) (CA INDEX NAME)

229001-78-9 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl)-N'-[4-(4-methoxyphenoxy)phenyl]- (9CI) (CA INDEX NAME)

229001-79-0 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(3-methylphenoxy)phenyl]- (9CI) (CA INDEX NAME)

229001-80-3 CAPLUS

ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

229001-85-8 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

229001-86-9 CAPLUS Urea, N=[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[3-(4-pyridinylthio)phenyl]- (9C1) (CA INDEX NAME)

229001-87-0 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

229001-89-2 CAPLUS
Benzamide, 3-[4-[[[5-(1,1-dimethylethyl)-lH-pyrazol-3yl]amino]carbonyl]amino]phenoxy]-N-methyl-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CM 1

CRN 229001-88-1

ANSWER 28 OF 38 CAPIUS COPYRIGHT 2004 ACS on SIN (Continued) Urea, N-[5-(1,-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-[(6-methyl-3-pyridinyl)oxy]phenyl]- (9C1) (CA INDEX NAME)

229001-81-4 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[6-[(4-methoxyphenyl)thio]-3-pyridinyl]- (9CI) (CA INDEX NAME)

229001-82-5 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-flucrophenoxy)phenyl]- (9CI) (CA INDEX NAME)

229001-83-6 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-(4-phenoxyphenyl)-(8CI) (CA INDEX NAME)

229001-84-7 CAPLUS Urea, N-(2,3-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-(SCI) (CA INDEX NAME)

ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN CMF C22 H25 N5 O3 (Continued)

2 CM

76-05-1 C2 H F3 02

229001-90-5 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

229001-91-6 CAPLUS Urea, N-[5-{1,1-dimethylethyl})-1H-pyrazol-3-yl}-N'-[4-{4-methylphenoxy}phenyl}- (9CI) (CA INDEX NAME)

229001-92-7 CAPLUS Urea, N-[3-(2-benzothiazolyloxy)phenyl]-N'-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]- (9C1) (CA INDEX NAME)

Page 77 08/20/2004

L6 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

229002-78-2 CAPLUS
Urea, N-[5-(2-furanyl)-1H-pyrazol-3-yl]-N'-[6-[4-[(trifluormethyl)thio]phenoxy]-3-pyridinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

229002-92-0 CAPLUS Urea, N-(3,4-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-(9C1) (CA INDEX NAME)

L6 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1199:375437 CAPLUS
111:27961
Hypolipenic agents
Hypolipenic flux agents
FARIET ASSIGNEE(S):
SOURCE:
PATEMIT ASSIGNEE(S):
Banyu Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 171 pp.
CODEN: PIXXD2
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATEMIT INFORMATION:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION:

PAT	PATENT NO.					D	DATE			APPL		ION :			D.	ATE	
Wo	9927	965			A1	_	1999	0610	,	WO 1		-			1	9981	127
	W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	15,	JP,	KE,
		KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT.	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,
		NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,
		UA,	UG,	US,	UZ,	VN,	YU,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	Pī,	SE,	BF,	BJ,	CF,	CG,	CI,
		CM,	GA,	GN,	G₩,	ML,	MR,	NE,	SN,	TD,	TG						
AU	9912	621			A1		1999	0616	- 1	AU 1:	999-	1262	1		1:	9981	127
PRIORITY	PRIORITY APPLN. INFO.:			.:						JP 1:	997-:	3443	57		1:	9971	128
									,	JP 1	998-	1692	16		15	9980	602
									1	VO 1	998-	JP53!	58		1:	9981	127

Remedies for hypercholesterolemia, hyperlipemia and arteriosclerosis containing as the active ingredient neuropeptide Y Y5 receptor antagonists typified by, for example, a compound represented by formula [1]. Formulation examples of I were given.

208518-73-69
RE: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified) SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (neuropeptide Y Y5 receptor antagonists as hypolipemic and antiatherosclerotic agents)
208518-75-6 CAPLUS
1-Piperazinocarboxamide, N-(5-(4-methoxyphenyl)-1H-pyrazol-3-yl)-4-phenyl-(9CI) (CA INDEX NAME)

L6 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 29 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 78 08/20/2004

L6 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:126025 CAPLUS
DOCUMENT NUMBER: 130:311726
AUTHOR(S): Acyl derivatives of 3-(p-aminophenyl)-5-aminopyrazole and its N(1)-substituted derivatives
AUTHOR(S): Nam, N. L.J Grandberg, I. I., Scrokin, V. I.
CORPORATE SOURCE: Timiryazevak. Sel'skokhoz. Akad., Russia
Izvestiya Timiryazevakok Sel'skokhozyaistvennoi
Akademii (1998) (3), 201-211
CODEN: ITSAA7; ISSN: 0021-342X
Izdatel'stvo MSKhA
DOCUMENT TYPE: Journal
LANGUAGE: Russian

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

Russian CASREACT 130:311726

ΙT

PATENT NO.			KIND	DATE								D	ATE	
													0001	200
	768													
W;	AL, AM,	AT,	AU, A	Z, BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
	DK, EE,	ES,	FI, G	B, GE,	GH,	ΗU,	ID,	IL,	IS,	JP,	KΕ,	ΚG,	KR,	ΚZ,
	LC, LK,	LR,	LS, L	T, LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,
	PT, RO,	RU,	SD, S	E, SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	UA,	UG,	US,
	UZ, VN,	YU,	ZW, A	M, AZ,	BY,	KG,	ΧZ,	MD,	RU,	TJ,	TM			
RW:	GH, KE,	LS,	MW, S	D, SZ,	UG,	ZW,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,
	GB, GR,	IE,	IT, L	U, MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,
	GN, ML,	MR,	NE, S	N, TD,	TG									
AU 9851	359		A1	1998	0629	7	U 1	998-	5135	9		1:	9971:	202
EP 9552	93		A1	1999	1110	E	EP 1	997-	9460	71		1:	9971	202
EP 9552	93		B1	2003	0319									
R:	DE. FR.	GB,	IT											
US 6043	246		A	2000	0328	U	15 1	999-	3086	68		1	9990	726
PRIORITY APP	LN. INFO	. :				J	TP 1	996-	3375	93	7	A 15	9961	203
						¥	ro 1	997-	JP43	99	1	7 1	971	202
OTHER SOURCE GI	(S):	1	MARPA	т 129;	54391	1								

R ² 1	_R 1		
сн-сн	н	NH.,	
Ar1-A	N — CO – N –	-/. "N	
Ĩ.	1.		
R3 I	R4	`Ar2	Ι

The title compds. I [A represents nitrogen or a group represented by CR5; Arl represents aryl optionally having substituent(s) selected from the group consisting of halogeno, lower alkyl, and lower haloalkyl. Ar2 represents aryl or heteroaryl optionally having substituent(s) selected from the group consisting of halogeno, lower alkyl, lower alkenyl, lower haloalkyl, lower alkoxy, lower alkylthough of lower alkylamino, lower alkyl, R7 represents hydrogen or lower alkyl or is bonded to R5 to represent a bond; R2 represents hydrogen or lower alkyl; R3 and R4 are the same or different and each represents hydrogen or lower alkyl; R3 and R6 are the same or different and each represents hydrogen or lower alkyl; R3 and R4 are bonded to each other to represent C2-4 alkyl; R9 containly having lower alkyl; and R5 represents hydrogen, hydroxy, lower alkyl; or lower alkoxy or is bonded to R4 to represent a bond) are prepared I are useful in the treatment of hyperphagia, obesity, or diabetes. In an in vitro test for neuropeptide Y antagonism, 3-[4-8-4].

L6 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
IC55 of 27 mL.
IC55 of 27 mL.
208518-73-6P 208518-76-7P 208518-77-8P
208518-73-6P 208518-76-7P 208518-80-3P
208518-91-4P 208518-92-5P 208518-83-6P
208518-94-7P 208518-83-6P 208518-83-6P
208518-93-70-P 208518-88-1P 208518-83-2P
208518-93-98-2P 208518-93-19-6P 208518-93-PD
208518-93-8P 208518-94-9P 208518-93-DD
208518-96-1P 208518-97-2P 208518-93-DP
208518-96-1P 208518-97-2P 208518-93-PD
208518-95-5P 208519-00-0P 208518-91-1P
208519-02-5P 208519-03-3P 208519-01-1P
208519-02-5P 208519-03-3P 208519-01-1P
208519-03-5P 208519-04-6P
RL: BAC (Biological activity or effector, except adverse); ESU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of urea moiety-containing pyrazole derivs. as neuropeptide Y antagonists)
208518-75-6 CAPLUS
208518-75-6 CAPLUS
1-Piperazinecarboxamide, N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl-(9CI) (CA INDEX NAME) L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) IT

208518-76-7 CAPLUS 1-Piperazinecztowamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]-4-phenyl-(SCI) (CA INDEX NAME)

208518-77-8 CAPLUS
1-Fiperazinecarboxamide, N-[5-(2-methylphenyl)-1H-pyrazol-3-yl]-4-phenyl-(SCI) (CA INDEX NAME)

Page 79 08/20/2004

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-78-9 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-(3-methylphenyl)-1H-pyrazol-3-yl]-4-phenyl(SCI) (CA INDEX NAME)

RN 208518-79-0 CAPLUS CN 1-Piperazineoarboxamide, N-[5-(4-methylphenyl)-lH-pyrazol-3-yl]-4-phenyl-(9C1) (CA INDEX NAME)

RN 208518-80-3 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-(2-methoxypheny1)-1H-pyrazol-3-y1]-4-phenyl(9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-84-7 CAPLUS CN 1-Piperazinecarboxamide, 4-phenyl-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 208518-85-8 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-[4-(dimethylamino)phenyl]-1H-pyrazol-3-yl]-4-phenyl- (SCI) (CA INDEX NAME)

RN 208518-86-9 CAPLUS
CN 1-Piperazinocarboxamide, N-[5-[3-(dimethylamino)phenyl]-1H-pyrazol-3-yl]-4-phenyl-(9C1) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-81-4 CAPLUS
CN 1-Piperazinecarboxamide, N-(5-(3,4-dichlorophenyl)-1H-pyrazol-3-yl]-4-phenyl-(9C1) (CA INDEX NAME)

RN 208518-82-5 CAPLUS CN 1-Piperazinecarboxamide, N-[5-(4-bromophenyl)-1H-pyrazol-3-yl]-4-phenyl-(9C1) (CA INDEX NAME)

RN 208518-83-6 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-(3-chlorophenyl)-1H-pyrazol-3-yl]-4-phenyl(9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-87-0 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-(3,4-dimethoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl-(9C1) (CA INDEX NAME)

RN 208518-88-1 CAPLUS
CN 1-Fiperazineoarboxamide, N-(5-[4-(1-methylethoxy)phenyl]-lH-pyrazol-3-yl]-4-phenyl-(9C1) (CA INDEX NAME)

RN 209518-89-2 CAPLUS
CN 1-Fiperazinecarboxamide, N-[5-(4-ethoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl(9C1) (CA INDEX NAME)

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L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-90-5 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-(3-bromophenyl)-1H-pyrazol-3-yl]-4-phenyl(SCI) (CA INDEX NAME)

RN 208518-91-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chlorophenyl)-N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]- (9C1) (CA INDEX NAME)

RN 208518-92-7 CAPIUS
CN 1-Piperazinecarboxamide, 4-(3-chlorophenyl)-N-[5-(3,4-dimethoxyphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-96-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-phenyl-N-[5-(4-pyridinyl)-1H-pyrazol-3-yl](SCI) (CA INDEX NAME)

RN 208518-97-2 CAPIUS
CN Urea, N'-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-N-methyl-N-[2-[(2-methylphenyl)amino)ethyl]- (SCI) (CA INDEX NAME)

RN 208518-98-3 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-(3-methoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl(9C1) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-93-8 CAPLUS
CN 1-Piperazinecarboxamide, N-{5-(2-chlorophenyl)-1H-pyrazol-3-yl}-4-phenyl{9CI} (CA INDEX NAME)

RN 208518-94-9 CAPLUS CN 1-Piperazinecarboxamide, N-[5-[4-(methylthio)phenyl]-1H-pyrazol-3-yl]-4-phenyl- (9CI) (CA INDEX NAME)

RN 208518-95-0 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-(1,3-benzodioxol-5-yl)-1H-pyrazol-3-yl)-4-phenyl- (9Cl) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-99-4 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-[4-methoxyphenyl]-1H-pyrazol-3-yl]-4-(2-methyphenyl)- (9CI) (CA INDEX NAME)

RN 208519-00-0 CAPLUS
CN Urea, N-[5-(4-methoxyphenyl)-lH-pyrazol-3-yl]-N'-[2-(phenylamino)ethyl](901) (CA 10REX NAME)

RN 208519-01-1 CAPLUS
CN 1-Piperazinecarboxamide, N-(5-[1,1'-biphenyl]-4-yl-1H-pyrazol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

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L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

208519-02-2 CAPLUS
1-Fiperazinecarboxamide, N-[5-[3-(dimethylamino)-4-methoxyphenyl]-lH-pyrazol-3-yl]-4-phenyl- (3CI) (CA INDEX NAME)

208519-03-3 CAPLUS
1-Fiperazinecarboxamide, 4-(4-hydroxyphenyl)-N-(5-(4-methoxyphenyl)-1H-pyrazol-3-yl]- (9C) (CA INDEX NAME)

208519-04-4 CAPLUS 1(2H)-Fyridinecarboxamide, 3,6-dihydro-N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997;531751 CAPLUS
DOCUMENT NUMBER: 127;220346
TITLE: Gas-phase pyrolysis in heterocyclic synthesis.
Gas-phase pyrolysis in heterocyclic synthesis.
Gas-phase elimination reactions of some substituted aminoazoles
ANIHOR(S): Al-Awadi, Nouria A.; Elnagdi, Mchamed H.
CORFORATE SOURCE: Chemistry Department, Kuwait University, Safat, 13060, Kuwait.
SOURCE: Heteroatom Chemistry (1997), 8(4), 293-297
CODEN: HETCE8; ISSN: 1042-7163
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: Snglish
AB Gas-phase pyrolyses of Et N-(5-cyanomethyl-1,3,4-thiadiazol-3yl) carbomate, 1-benzoyl-3-(3-methylpyrazol-5-yl) thiourea,
1-benzoyl-3-(6-methylisoxazol-3-yl) thiourea and 1-acetyl-3-(3phenylpyrazol-5-yl) thiourea were studied. These reactions were
homogeneous and unimol, and their Kinetics obeyed the 1st-order rate
equation. Using this pyrolytic reaction in heterocyclic synthesis is
considered, and mechanistic information was obtained from Kinetic data and
product anal, using an online pyrolysis/GC-MS technique. The phys.
Consts. of 4 new substituted aminoazoles are also described.

IT 193048-73-8 (single-race), RACT (Reactant or reagent)
(Kinetics and mechanism of thermal elimination reactions of some
substituted aminoazoles and gas-phase pyrolysis in heterocyclic
synthesis)
RN 155048-73-8 CAPLUS
CN Ethanethioamide, N-[[(5-phenyl-1H-pyrazol-3-yl) amino]carbonyl]- (9CI) (CA
INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

208519-05-5 CAPLUS 1-Piperidinecarboxamide, N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl-(SCI) (CA INDEX NAME)

208519-06-6 CAPLUS
1H-1,4-Diazepine-l-carboxamide, hexahydro-N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl- (SCI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1993:2383 CAPLUS

DOCUMENT NUMBER: TITLE:

PLUS COPYRIGHT 2004 ACS on STN
1993:2383 CAPLUS
118:2383
Growth regulatory effects of heterocyclic derivatives of p-toluene- and p-chlorobenzene-sulfonylurea on plant seeds
Kato, Motoyasu; Obara, Yoshihiko; Murata, Michio Agr. Coll., Meijo Univ., Nagoya, Japan
Meijo Daigaku Nogakubu Gakujutsu Hokoku (1992), 28, 49-59

CORPORATE SOURCE: SOURCE:

49-59
CODEN: MDNGEZ; ISSN: 0910-3376
DOCUMENT TYPE: Journal
LANGUAGE: Appanese
B The plant growth regulatory activities of some sulfonylurea compds.,
having a 4-substituted group in the aryl modety, on 4 kinds of plants were
evaluated using a germination test. Sixty new p-tolluenesulfonylurea and 8
new p-chlorobenzenesulfonylurea derivs. were synthesized from p-tolluene or
p-chlorobenzenesulfonylurea tenium, which is a According
to the method of G. Levitt (1981). Relatively pure compds. were obtained
without any special purification techniques, and were subjected directly to

biol. activity tests. The p-toluenesulfonylurea derivs, were tested at the concns. of 500 ppm. The test revealed that many pyridine and pyrimidine derivs. had strong inhibitory activities. Most hetero-pentacyclic derivs. exhibited no inhibitory activities. Most hetero-pentacyclic derivs. exhibited no inhibitory activities were among the hetero-pentacyclic derivs. Some p-toluenesulfonylurea compds. and new p-chlorobenzenesulfonylureas, which had the same heterocyclic skeleton, were also examined at the concns. of 20, 100 and 500 ppm. Some p-toluenesulfonylureas and p-chlorobenzenesulfonylureas showed potent inhibitory activities on the roots and shoots of lettuce.

144849-11-69
RL: AGR (Agricultural use), BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified), SPN (Synthetic preparation), BIOL (Riological study), PREP (Preparation), USES (Usea) (preparation and plant growth regulating activity of, concentration in tion to the course.

(Preparation and product of the prod

Page 82 08/20/2004

L6 ANSWER 34 OF 38 CA ACCESSION NUMBER:	1983:5	94955 CAPL			
DOCUMENT NUMBER:	99:194				
TITLE:	contai	ning them	res and herbi		
INVENTOR(S):	Seki,	Nansho: Yam:	aguchi, Yuki;	Nakamura,	Yukihiro
. ,			iruya, Tetsuo		
PATENT ASSIGNEE(S):		Denko K. K.			
SOURCE:	Ger. C	offen., 37 pj GWXXBX			
DOCUMENT TYPE:	Patent				
LANGUAGE:	German	· V			
FAMILY ACC, NUM, COUNT:	1				
PATENT INFORMATION:	•				
PATENT NO.	KIND	DATE	APPLICATION	No.	DATE

PATENT NO.	KIND	DATE	AP.	PLICATION NO.	DATE
DE 3305483	A1	19830825	DE	1983-3305483	19830217
JP 58144372	A2	19830827	JP	1982-23668	19820218
JP 03036833	B4	19910603			
JP 58144373	A2	19830827	JP	1982-23669	19820218
JP 03071424	B4	19911113			
JP 59084871	A2	19840516	JP	1982-194592	19821108
CH 653998	A	19860131	CH	1983-827	19830215
CA 1194884	A1	19851008	CA	1983-421704	19830216
FR 2521557	A1	19830819	FR	1983-2669	19830218
AU 8311650	A1	19830825	AU	1983-11650	19830218
AU 547406	B2	19851017			
GB 2115416	A1	19830907	GB	1983-4627	19830218
GB 2115416	B2	19860305			
US 4501606	A	19850226	US	1983-467630	19830218
PRIORITY APPLN. INFO.:			JP	1982-23668	19820218
			JP	1982-23669	19820218
			JP	1982-194592	19821108

OTHER SOURCE(S): CASREACT 99:194955

Herbicidal pyrazoles I (R = H, Br, Cl; Rl = alkoxy, alkenyloxy, amino) (16 compds.) were prepared Thus, 125 g Me3CCCCH2CN was cyclocondensed with 55 g N2R4.H20 to give 131 g 3-amino-5-tert-butyl-IH-pyrazole. This (42 g) was acylated with 34 g ClocOMe to give 44 g I (R = H, Rl = OMe) (II). In preand post-emergence tests, 10 kg II/ha gave complete kill of, e.g. Bright-Brig

87844-61-3F RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREF (Preparation) (preparation and herbicidal activity of)

L6 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
1975:443280 CAPLUS
COCUMENT NUMBER:
83:43280
TITLE:
New synthesis of pyrazolo[1,5-a]-s-triazines
Vogel, Arnold; Troxler, Franz
Vogel, Arnold; Troxler, Franz
SOURCE:
CORONATE SOURCE:
Pharm.-Dep., Sandoz A.-G., Basel, Switz.
DOCUMENT TYPE:
LANOUAGE:
COEN: HCACAV; ISSN: 0018-019X
JOURNAL
ADDITIONAL
ADDITIO

56130-86-0P
RL: RCT (Reactant); SPN (synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)
56130-86-0 CAPLUS
Acetamide, N-[[[5-methyl-1H-pyrazol-3-yl)amino]carbonyl]- (9CI) (CA INDEX NAME) IT

ANSWER 34 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 87844-81-3 CAPLUS Urea, N°-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)

ΙT

87844-78-8P
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation, bromination, chlorination, and herbicidal activity of)
87844-78-8 CAPLUS
Urea, N°-(5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]-N,N-dimethyl- (9CI) (CA
INDEX NAME)

L6 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1967:10875 CAPLUS DOCUMENT NUMBER: TITLE: 66:10875 66:10875
Pyrazole derivatives. III
Pyrazole derivatives. III
Pymek, Wojciech; Janik, Boleslaw; Ryznerski, Zygmunt
Akad, Med., Cracow, Pol.
Acta Poloniae Pharmaceutica (1966), 23(3), 207-14
CODEN: APPHAX; ISSN: 0001-6837 AUTHOR (S): CORPORATE SOURCE: SOURCE:

Acta Foloniae Fharmaceutica (1966), 23(3), 207-14
CODEN: AFFHAX; ISSN: 0001-6837

DOCUMENT TYPE:

JOURNAL

AB Cf. CA 63, 18066h. Several derivs. of 3-(p-chlorophenyl)pyrazole were synthesized for antibacterial screening. p-ClC6H4COCH2CM and 2.5 moles 804
N2H4.H20 heated 1 hr. on a water bath yielded I (R = H), m. 170-1*
(H2O), hydrochloride m. 225-7* (EUCH-C6H6), picrate m.
199-200* (EEOH). The following I were prepared by 2-hr. heating of I
(R = H) with 1 mole acyl chloride in C5H5N or 1 mole isocyanate in EtOH (R and m.p. given): Ac, 182-4* (EtOH) Bz, 257-8* (EtOH);
p-AchHcGH4SO2, 260-1* (MeCO-C6H6), pi-HZNGGH4SO2, 263-4*
(Me2CO-C6H6); PhNCO, 139-40* (dilute EtOH; 1-C10H7NHCO, 255-6* (PhMe). I (R = H) in EtOH refluxed 1 hr. with 1 mole appropriate aldehyde yielded II (R = m.p. given): o-C2NCGH4, 206-7*
(EEOH); phCH:Ch, 216* (C6H6); o-HCOGH4, 229-30* (C6H6). I
(R = H) heated 3 hrs. with 1 mole a-coxe ester in EtOH gave III (R = Me), m. 341* (PhMe), and III (R = Ph), m. 340* (PhMe). I (R = H) heated 1.5 hrs. with 1 mole isothicoyanate in EtOH yielded IV (R and m.p. given): Ne, 221-2* (EUCH); Et. 214* (dilute EtOH);
CH2:CHCH2, 201-2* (dilute EtOH); Ph, 199-200* and 220*
(ETOH). c-HCGH4, 205-6* and 223-4* (EtOH).

IT 13097-23-9* 13097-24-0*
RI: SFN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 13097-23-9 CAPLUS
CN Urea, 1-[5-(p-chlorophenyl)pyrazol-3-yl]-3-phenyl- (8CI) (CA INDEX NAME)

13097-24-0 CAPLUS Urea, 1-[5-(p-chlorophenyl)pyrazol-3-yl]-3-(1-naphthyl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ANSWER 37 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ANSWER 37 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ESSION NUMBER: 1965:498286 CAPLUS UNENT NUMBER: 63:98286 GINAL REFERENCE NO.: 63:18066h,18067a-c ACCESSION NUMBER: DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: DESSION NUMBER: 1965:498286 CAPLUS CUMPAN NUMBER: 63:98286 CINAL REFERENCE NO.: 70:000 CINAL REFER TITLE: AUTHOR(S): CORPORATE SOURCE: SOURCE: DOCUMENT TYPE: LANGUAGE:

100027-16-5 CAPLUS Urea, 1-[5(or 3)-(p-bromophenyl)pyrazol-3(or 5)-yl]-3-(1-naphthyl)- (7CI) (CA INDEX NAME)

L6 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 1965:58907 CAPLUS DOCUMENT NUMBER: 62:58907 ORIGINAL REFERENCE NO.: 62:10428a-d 62:10428a-d Pyrazole derivatives. I Dymek, Wojciech, Janik, Boleslaw, Samson, Oktawian Akad. Med. Krakow Acta Polon. Pharm. (1964), 21(2), 211-16 Journal Polish AUTHOR (S): CORPORATE SOURCE: DOCUMENT TYPE: UAGE: Polish

For diagram(s), see printed CA Issue.
3-Phenyl-5-(p-acetamido-phenylsulfonamido)pyrazole (I), m. 119-20*,
was obtained by refluxing equimol. amts. of 3-phenyl-5-aminopyrazole (II),
p-acetamidobenzenesulfonyl chloride (III), and pyridine in anhydrous GHCl3
for 1 hr. Refluxing I in 2N NaOH for 2 hrs. yielded 3-phenyl-5-(paminophenylsulfonamido)pyrazole, m. 241-2*. 3-Phenyl-4-bromo-5aminopyrazole-HBr (IV), m. 222-3*, was obtained by adding dropwise,
at room temperature, 0.02 moles Br to 0.01 mole II in CHCl3; the free base st room temperature, 0.02 moles Br to 0.01 mole II in CHCl3, the free base 92-3°. Heating equimolar amts. of III, IV, and pyridine in CHCl3 for 1 hr. on a water bath yielded 3-phenyl-4-bromo-5-(p-actamidophenylsulfonanido)pyrazole, which refluxed 1 hr. in 2N NaOH yielded 3-phenyl-4-bromo-5-(p-aminophenylsulfonanido)pyrazole, m. 213-14°. 3-Phenyl-5-chlcroacetylaminopyrazole, m. 249-50°, was obtained by heating for 1 hr. 1.6 g. II in pyridine with 1.2 g. chloroacetic acid. N-(3-Phenyl-5-pyrazolyl)-N°-phenylurea (m. 154-5°), N-(3-phenyl-5-pyrazolyl)-N°-phenylurea (m. 154-5°), N-(3-phenyl-5-pyrazolyl)-N°-phaphthyl nalog (m. 150-2°) were obtained by heating for 1 hr. 1.6 g. II in EtOH with 1.2 g. Ph, Panaphthyl, or α-naphthyl isocynante, resp. Heating equimolar amts. II and isocynates in EtOH for 1 hr. yielded the following V (R and mp. given): Me. 219-21°, tt. 207-9° CH2CH:CH2, 186-8°, Ph. 191-2°, o-MecCH4, 209-11°. The activity of the compds. against Toxoplasma gondii was studied. 97983-41-8, Urea, 1-phenyl-3-[5(or 3)-phenylpyrazol-3(or 5)yl]-100546-05-2, Urea, 1-(2-naphthyl)-3-[5(or 3)-phenylpyrazol-3(or 5)yl]-(preparation of) (CA INDEX Urea, 1-phenyl-3-[5(or 5)-yl]- (preparation of) (CA INDEX Urea, 1-phenyl-3-[5(or 5)-yl]- (preparation of) (CA INDEX Urea, 1-phenyl-3-[5(or 5)-yl]- (7CI) (CA INDEX Urea, 1-phenyl-3-[5(or 5)-yl]- (7CI) Urea, 1-phenyl-3-[5(or 3)-phenylpyrazol-3(or 5)-yl]- (7CI) (CA INDEX NAME)

100546-05-2 CAPLUS Urea, 1-(2-naphthy1)-3-[5(or 3)-phenylpyrazol-3(or 5)-y1]- (7CI) (CA INDEX NAME)

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L6 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 100546-06-3 CAPLUS CN Urea, 1-(1-naphthyl)-3-(5(or 3)-phenylpyrazol-3(or 5)-yl]- (7CI) (CA INDEX MAME)

CA SUBSCRIBER PRICE

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186.16 367.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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ENTRY SESSION

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L7 1 256529-50-7/RN

=> SET NOTICE 1 DISPLAY

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=> D L7 SQIDE 1-

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17 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 256529-50-7 REGISTRY
CN Urea, N-ethyl-N'-[5-[4-phenyl-5-(trifluoromethyl)-2-thienyl]-1H-pyrazol-3yl]- (9C1) (CA INDEX NAME)
FS 3D CONCORD
FS 3D CONCORD
SR C17 H15 F3 N4 0 S
SR CAS Client Services

$$F_{3C} \xrightarrow{S} \underset{NH-C-NHEt}{\overset{H}{N}}$$

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=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 2.19	SESSION 369.65
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -26.60

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TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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=> S 256529-50-7/RN

L8 1 256529-50-7/RN

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COST IN U.S. DOLLARS FULL ESTIMATED COST	SINCE FILE ENTRY 0.42	TOTAL SESSION 370.07
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -26.60

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L8 1 SEA FILE=REGISTRY ABB=ON PLU=ON 256529-50-7/RN

L9 0 SEA FILE=CHEMCATS ABB=ON PLU=ON L8

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=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 0.85	SESSION 370.92
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -26.60

FILE 'REGISTRY' ENTERED AT 10:54:14 ON 20 AUG 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9 DICTIONARY FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> S 256529-50-7/RN

L10 1 256529-50-7/RN

=> FIL CHEMCATS

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.42	371.34
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-26.60

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FILE LAST UPDATED 14 AUGUST 2004 (20040814UP)

For details on recent updates in CHEMCATS, enter NEWS FILE at an arrow prompt. For the list of suppliers currently in the file, enter HELP SPA, HELP SPBC, HELP SPDH, HELP SPIN, HELP SPOP, and HELP SPQZ. For the list of current catalogs, enter HELP CTA, HELP CTBC, HELP CTDH, HELP CTIN, HELP CTOP, and HELP CTQZ.

This database is provided on an "as is" basis. Please consult the suppliers for current information regarding pricing, regional availability, available quantities, purities, etc. THERE ARE NO WARRANTIES OF ANY KIND, EITHER EXPRESSED OR IMPLIED. ACS is not liable for any loss of profit, goodwill or any other damages arising

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out of the use of this database.

CHEMCATS now contains more than 6 million records. See HELP CONTENT and NEWS FILE for details.

=> SET NOTICE 1 DISPLAY

NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND SET COMMAND COMPLETED

=> SET LIN 80

SET COMMAND COMPLETED

=> S L10

L11 0 L10

=> D RN CN PRICE COMP 1-

L11 HAS NO ANSWERS

L10

1 SEA FILE=REGISTRY ABB=ON PLU=ON 256529-50-7/RN

L110 SEA FILE=CHEMCATS ABB=ON PLU=ON L10

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND SET COMMAND COMPLETED

=>

=> log y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 11.90	SESSION 383.24
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -26.60

STN INTERNATIONAL LOGOFF AT 11:02:46 ON 20 AUG 2004